FULL SEARCH HISTORY

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=> d his nofile
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(FILE 'HOME' ENTERED AT 15:05:43 ON 03 JAN 2008)
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FILE 'HCAPLUS' ENTERED AT 15:05:55 ON 03 JAN 2008 E US20070128704/PN

L11 SEA ABB=ON PLU=ON US20070128704/PN

D ALL SEL RN

D SCAN

	•
	FILE 'REGISTRY' ENTERED AT 15:07:39 ON 03 JAN 2008
L2	13 SEA ABB=ON PLU=ON (108-30-5/BI OR 108-32-7/BI OR
	116539-55-0/BI OR 142-82-5/BI OR 164071-56-1/BI OR
	16940-66-2/BI OR 23229-69-8/BI OR 260354-12-9/BI OR
	40570-64-7/BI OR 74-89-5/BI OR 861995-99-5/BI OR
	9001-62-1/BI OR 96-49-1/BI)
	D SCAN
L3	6 SEA ABB=ON PLU=ON L2 AND 1/S
	D SCAN
L4	7 SEA ABB=ON PLU=ON L2 NOT L3
	D SCAN
	D I.3 1-6
L5	1 SEA ABB=ON PLU=ON 40570-64-7/RN
	D SCAN
L6	1 SEA ABB=ON PLU=ON 116539-55-0/RN-
10	D SCAN
T 7	
ь7	1 SEA ABB=ON PLU=ON 260354-12-9/RN

FILE 'STNGUIDE' ENTERED AT 15:15:25 ON 03 JAN 2008

FILE 'REGISTRY' ENTERED AT 15:17:42 ON 03 JAN 2008 D SCAN

		D SCAN		
T8	1	SEA ABB=ON	PLU=ON	164071-56-1/RN
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L10	1	SEA ABB=ON D	PLU=ON	L2 AND C4 H4 O3/MF
L11	1	SEA ABB=ON D SCAN L4	PLU=ON	108-30-5/RN
L12 .	1	SEA ABB=ON D RN	PLU=ON	METHANAMINE/CN
L13	1	SEA ABB=ON D CN D RN	PLU=ON	L2 AND LIPASE
L14	1	SEA ABB=ON	PLU=ON	9001-62-1/RN

FILE 'HCAPLUS' ENTERED AT 15:30:40 ON 03 JAN 2008 D SCAN L1

	FILE 'CASE	REACT' ENTERE	D AT 15:	31:03 ON 03 JAN 2008
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L17	2	2 SEA ABB=ON D SCAN	PLU=ON	L7/RCT(L)L8/PRO
L18	4	4 SEA ABB=ON D SCAN	PLU=ON	L8/RCT(L)L6/PRO
L19	•	7 SEA ABB=ON		(L15 OR L16 OR L17 OR L18)

SAV L19 CHA440CRCT/A FILE 'STNGUIDE' ENTERED AT 15:44:09 ON 03 JAN 2008

Page 1

FILE 'HCAPLUS' ENTERED AT 15:46:30 ON 03 JAN 2008 D L1 AU E STUERMER R/AU -L20 74 SEA ABB=ON PLU=ON STUERMER R?/AU D SCAN L1 QUE ABB=ON PLU=ON CHIRAL? OR ENANTIOMER? OR RESOLUTIO L21 N? L22 35 SEA ABB=ON PLU=ON L20 AND L21 L23 QUE ABB=ON PLU=ON PY<2005 OR PRY<2005 OR AY<2005 OR MY<2005 OR REVIEW/DT L24 31 SEA ABB=ON PLU=ON L22 AND L23 SAV TEMP L24 CHA440HCPIN/A FILE 'CASREACT' ENTERED AT 15:51:41 ON 03 JAN 2008 32 SEA ABB=ON PLU=ON STUERMER R?/AU L25 17 SEA ABB=ON PLU=ON L25 AND L21 L26 16 SEA ABB=ON PLU=ON L26 AND L23 L27 SAV TEMP L27 CHA440CRCTIN/A FILE 'HCAPLUS' ENTERED AT 15:52:48 ON 03 JAN 2008 D SCAN L1 L28 27 SEA ABB=ON PLU=ON L5 L29 46 SEA ABB=ON PLU=ON L6 9 SEA ABB=ON PLU=ON L28 AND L29 L30 D SCAN 7 SEA ABB=ON PLU=ON L7 L31 5 SEA ABB=ON PLU=ON L28 AND L31 L32 9 SEA ABB=ON PLU=ON L8 L33 1 SEA ABB=ON PLU=ON L9 L34 11297 SEA ABB=ON PLU=ON L11 L35 34982 SEA ABB=ON PLU=ON L14 L36 2 SEA ABB=ON PLU=ON L31 AND ((L33 OR L34 OR L35 OR L37 L36)) D SCAN L38 5 SEA ABB=ON PLU=ON ((L33 OR L34)) AND L29 19367 SEA ABB=ON PLU=ON L12 L39 1 SEA ABB=ON PLU=ON L38 AND L39 L40 8 SEA ABB=ON PLU=ON (L33 OR L34 OR L29) AND L39 L41D SCAN L42 10 SEA ABB=ON PLU=ON L30 OR L32 OR L37 OR L38 OR L40 15 SEA ABB=ON PLU=ON L42 OR L41 15 SEA ABB=ON PLU=ON L43 AND L23 L44 D SCAN

FILE 'STNGUIDE' ENTERED AT 16:02:51 ON 03 JAN 2008

SAV TEMP L44 CHA440HCP/A

INVENTOR SEARCH

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L27 16 S L26 AND L23

=> d que 127

L21 QUE ABB=ON PLU=ON CHIRAL? OR ENANTIOMER? OR RESOLUTI
ON?

L23 QUE ABB=ON PLU=ON PY<2005 OR PRY<2005 OR AY<2005 OR
MY<2005 OR REVIEW/DT

L25 32 SEA FILE=CASREACT ABB=ON PLU=ON STUERMER R?/AU
L26 17 SEA FILE=CASREACT ABB=ON PLU=ON L25 AND L21
L27 16 SEA FILE=CASREACT ABB=ON PLU=ON L26 AND L23

(FILE 'CASREACT' ENTERED AT 15:51:41 ON 03 JAN 2008)

=> d his 124

(FILE 'HCAPLUS' ENTERED AT 15:46:30 ON 03 JAN 2008) L24 31 S L22 AND L23

=> dup rem 127 124

FILE 'CASREACT' ENTERED AT 16:04:00 ON 03 JAN 2008

USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'HCAPLUS' ENTERED AT 16:04:00 ON 03 JAN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L27
PROCESSING COMPLETED FOR L24
L45 30 DUP REM L27 L24 (17 DUPLICATES REMOVED)

ANSWERS '1-16' FROM FILE CASREACT ANSWERS '17-30' FROM FILE HCAPLUS

INVENTOR SEARCH RESULTS

=> d 145 1-30 ibib ed

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L45 ANSWER 1 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 1
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144:190717 CASREACT Full-text ACCESSION NUMBER:

Lipase catalyzed enantioselective hydrolysis TITLE:

of oxetan-2-ones

Habicher, Tilo; Stuermer, Rainer INVENTOR(S): Basf Aktiengesellschaft, Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 11 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE
                                             APPLICATION NO. DATE
     PATENT NO.
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     WO 2006015727 A2
WO 2006015727 A3
                                               WO 2005-EP8190 20050728
                              20060216
                              20060713
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              ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
              KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
              MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG,
              PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ,
              TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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            HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI,
              SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
              NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
     DE 102004037700 A1 20060316 DE 2004-10200403770020040802
     DE 102004038589 A1
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                                               DE 2004-10200403858920040806
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                                               CN 2005-80026005 20050728
     CN 1993472
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                                              EP 2005-769677 20050728
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     EP 1805314
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PRIORITY APPLN. INFO.:
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DE 2004-10200403858920040806

WO 2005-EP8190 20050728

MARPAT 144:190717 OTHER SOURCE(S):

L45 ANSWER 2 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

143:192413 CASREACT Full-text

A chemoenzymic synthesis of TITLE:

enantiomerically pure aminoalcohols Stuermer, Rainer INVENTOR(S):

BASF Aktiengesellschaft, Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 14 pp. SOURCE:

CODEN: PIXXD2

Patent DOCUMENT TYPE:

German LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO.

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WO 2005073215
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                                             DE 2004-10200400471920040129
PRIORITY APPLN. INFO .:
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WO 2005-EP420 20050118 THERE ARE 6 CITED REFERENCES AVAILABLE 6 FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 3 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

REFERENCE COUNT:

142:392275 CASREACT Full-text

TITLE:

enzymic and nonenzymic methods for the preparation of 3-methylamino-1-(thien-2-

yl)propan-1-ol.

INVENTOR(S):

Stuermer, Rainer; Kesseler, Maria;

Hauer, Bernhard; Friedrich, Thomas; Breuer,

Michael

PATENT ASSIGNEE(S):

BASF Aktiengesellschaft, Germany

PCT Int. Appl., 69 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						DATE	•					DATE			
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		7779 A2 20060621								-					
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CN 2004-80028108 20040930
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    JP 2007533628
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    US 2007083055
                     A1
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                                          DE 2003-10345772 20031001
PRIORITY APPLN. INFO.:
                                          WO 2004-EP10939 20040930
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L45 ANSWER 4 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 4

ACCESSION NUMBER:

140:181317 CASREACT Full-text Preparation of enantiomerically pure

TITLE:

(S)-3-methylamino-1-(thien-2-yl)propan-1-ol

from racemic 3-hydroxy-3-(thien-2-

yl)propionitrile via kinetic

resolution with an acylating agent and a lipase followed by treatment with

methylamine and hydrogen in the presence of a

catalyst.

INVENTOR(S):

Stuermer, Rainer

CODEN: PIXXD2

PATENT ASSIGNEE(S):

BASF Aktiengesellschaft, Germany

SOURCE:

PCT Int. Appl., 31 pp.

DOCUMENT TYPE: LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE			٠	APPLICATION NO.					DATE		
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PRIO	PRIORITY APPLN. INFO									E 20	02-1	0235	206	2002	0801	
										W	0 20	03-E	P849	2	2003	0731

L45 ANSWER 5 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 5

ACCESSION NUMBER:

141:23424 CASREACT Full-text

TITLE:

Procedure for the production of N-(2-pyridyl)-1-amino-2-propanol from

2-aminopyridine and propylene oxide

INVENTOR(S):

Stuermer, Rainer; Baldenius,

Kai-uwe; Stratmann, Christian PATENT ASSIGNEE(S):

SOURCE:

BASF Ag, Germany Ger. Offen., 6 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. ----_____ _____ DE 10254292 A1 20040603 DE 2002-10254292 20021120 DE 2002-10254292 20021120 PRIORITY APPLN. INFO.:

L45 ANSWER 6 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 6

ACCESSION NUMBER:

132:251248 CASREACT Full-text

TITLE:

Process for asymmetric hydrogenation of keto

esters with ruthenium catalysts having

chiral bidentate bridged

bis (phospholane) derivatives as ligands

INVENTOR(S):

Stuermer, Rainer; Klatt, Martin

Jochen; Boermer, Armin; Holz, Jens; Voss,

Gudrun

PATENT ASSIGNEE(S):

BASF A.-G., Germany Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

SOURCE:

Patent German

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAI	CENT :	NO.		KII	MD.	DATE			AI	PLIC	CATI	ON NC	ο.	DATE	
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OTHER SO	OURCE	(S):			MAR	PAT	132:2	2512	48						

L45 ANSWER 7 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 7

ACCESSION NUMBER:

132:12408 CASREACT Full-text

TITLE:

Preparation of optically active phospholanes,

their metal complexes, and their use in

asymmetric synthesis

INVENTOR(S):

Stuermer, Rainer; Boerner, Armin;

Holz, Jens; Voss, Gudrun

PATENT ASSIGNEE(S):

BASF A.-G., Germany

SOURCE:

Ger. Offen., 10 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DAT	re
DE 19824121	A1	19991202	DE 1998-19824121 199	80529
CA 2333888	A1	19991209	CA 1999-2333888 199	990528
WO 9962917	A1	19991209	WO 1999-EP3702 199	990528

W: CA, CN, JP, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU,

MC, NL, PT, SE EP 1082328 A1 20010314 EP 1999-926460 19990528 EP 1082328 В1 20021120 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE 20020618 19990528 JP 2002517403 Т JP 2000-552128 AT 228139 Т 20021215 AT 1999-926460 19990528 ES 2188176 Т3 20030616 ES 1999-926460 19990528 US 2000-700521 US 6632953 В1 20031014 20001115 PRIORITY APPLN. INFO.: DE 1998-19824121 19980529 WO 1999-EP3702 19990528 MARPAT 132:12408 OTHER SOURCE(S): L45 ANSWER 8 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 8 130:237655 CASREACT Full-text ACCESSION NUMBER: TITLE: Asymmetric Thermal Transformation, a New Way to Enantiopure Biphenyl-Bridged Titanocene and Zirconocene Complexes: Efficient Catalysts for Asymmetric Imine Hydrogenation AUTHOR(S): Ringwald, Markus; Stuermer, Rainer; Brintzinger, Hans H. Fakultaet fuer Chemie, Universitaet Konstanz, CORPORATE SOURCE: Konstanz, D-78457, Germany SOURCE: Journal of the American Chemical Society (**1999**), 121(7), 1524-1527 CODEN: JACSAT; ISSN: 0002-7863 American Chemical Society PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English THERE ARE 27 CITED REFERENCES AVAILABLE REFERENCE COUNT: 27 FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L45 ANSWER 9 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 9 130:14028 CASREACT Full-text ACCESSION NUMBER: TITLE: Synthesis of a New Class of Functionalized Chiral Bisphospholane Ligands and the Application in Enantioselective Hydrogenations Holz, Jens; Quirmbach, Michael; Schmidt, Ute; AUTHOR (S): Heller, Detlef; Stuermer, Rainer; Boerner, Armin CORPORATE SOURCE: Institut fuer Organische Katalyseforschung an der Universitaet Rostock e.V., Rostock, D-18055, Germany SOURCE: Journal of Organic Chemistry (1998), 63(22), 8031-8034 CODEN: JOCEAH; ISSN: 0022-3263 PUBLISHER: American Chemical Society DOCUMENT TYPE: Journal English LANGUAGE: REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L45 ANSWER 10 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 10 ACCESSION NUMBER: 128:89013 CASREACT Full-text TITLE: Chiral organometalloheterocycles: synthesis and activity as enantioselective

hydrogenation catalysts

INVENTOR(S):

Stuermer, Rainer; Ritter, Kurt

PATENT ASSIGNEE(S):

BASF A.-G., Germany Ger. Offen., 10 pp.

SOURCE:

DOCUMENT TYPE:

CODEN: GWXXBX

LANGUAGE:

Patent German PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

DE 19622271 A1 19971204DE 1996-19622271

19960603

OTHER SOURCE(S): MARPAT 128:89013

L45 ANSWER 11 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 11

ACCESSION NUMBER:

126:293382 CASREACT Full-text

TITLE:

Preparation of polyfunctional phosphines using

zinc organometallics

AUTHOR(S):

Langer, Falk; Puentener, Kurt; Stuermer,

Rainer; Knochel, Paul

CORPORATE SOURCE:

Fachbereich Chemie der Philipps-Universitat

Marburg, Marburg, D-35032, Germany

SOURCE:

Tetrahedron: Asymmetry (1997), 8(5),

715-738

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER:
DOCUMENT TYPE:

Elsevier Journal English

LANGUAGE: REFERENCE COUNT:

52 THERE ARE 52 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 12 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 12

ACCESSION NUMBER:

126:60177 CASREACT Full-text

TITLE:

Preparation of optically active phosphine and

their metal complexes and their use in

asymmetric synthesis

INVENTOR(S):

Stuermer, Rainer; Laupichler,

Lothar; Knochel, Paul; Falk, Langer

PATENT ASSIGNEE(S):

BASF A.-G., Germany

SOURCE:

Ger. Offen., 6 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

OTHER SOURCE(S):

CORPORATE SOURCE:

TITLE:

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
	DE 19516968	A1	19961114	DE 1995-19516968 19950512
	US 5723642	Α	19980303	US 1996-643586 19960506
	EP 743316	· A2	19961120	EP 1996-107261 19960508
	EP 743316	A3	19980429	
	EP 743316	B1	20021106	
	R: CH, DE,	FR, GB	, LI	
	CA 2176304	A1	19961113	CA 1996-2176304 19960510
	CA 2176304	С	20070109	
P	RIORITY APPLN. INFO.	.:		DE 1995-19516968 19950512

L45 ANSWER 13 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 13

MARPAT 126:60177

ACCESSION NUMBER: 126:74998 CASREACT Full-text

Optically active titanium complexes containing

linked amido cyclopentadienyl ligands. Their use as asymmetric hydrogenation catalysts

AUTHOR(S): Okuda, Ju

Okuda, Jun; Verch, Sabine; Spaniol, Thomas P.; Stuermer, Rainer

Institut Anorganische Chemie Analytische Chemie, Universitaet Mainz, Mainz, D-55099,

Germany

SOURCE: Chemische Berichte (1996), 129(12),

1429-1431

CODEN: CHBEAM; ISSN: 0009-2940

PUBLISHER: DOCUMENT TYPE: VCH Journal English

L45 ANSWER 14 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 14

ACCESSION NUMBER:

114:206505 CASREACT Full-text

TITLE:

LANGUAGE:

Enantioselective allylboration of aldehydes with (4R, 5R)-2-[(S)-1-chloro-2-propenyl]-4,5-

dicyclohexyl-1,3,2-dioxaborolane

AUTHOR(S):

Stuermer, Rainer; Hoffmann, Reinhard

CORPORATE SOURCE:

Fachbereich Chem., Philipps-Univ. Marburg,

Marburg, D-3550, Germany

SOURCE:

LANGUAGE:

Synlett (1990), (12), 759-61 CODEN: SYNLES; ISSN: 0936-5214

DOCUMENT TYPE:

Journal English

L45 ANSWER 15 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 15

ACCESSION NUMBER:

112:118887 CASREACT Full-text A new pathway to highly enantiomer

TITLE:

enriched (Z)-1-methyl-2-butenylboronic acid

esters

AUTHOR(S):

Stuermer, Rainer

CORPORATE SOURCE:

Fachbereich Chem., Univ. Marburg, Marburg,

D-3550, Germany

SOURCE:

Angewandte Chemie (1990), 102(1), 62

CODEN: ANCEAD; ISSN: 0044-8249

DOCUMENT TYPE:

Journal

LANGUAGE:

German

L45 ANSWER 16 OF 30 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 16

ACCESSION NUMBER:

111:153467 CASREACT Full-text

TITLE:

Stereoselective synthesis of alcohols. XXXI. Stereoselective carbon-carbon bond formation

using chiral Z-pentenylboronates

AUTHOR (S):

Hoffmann, Reinhard W.; Ditrich, Klaus; Koester, Gerhard; Stuermer, Rainer

CORPORATE SOURCE:

Fachbereich Chem., Philipps-Univ., Marburg,

D-3550, Fed. Rep. Ger.

SOURCE:

Chemische Berichte (1989), 122(9),

1783-9

CODEN: CHBEAM; ISSN: 0009-2940 Journal

DOCUMENT TYPE: LANGUAGE:

English

L45 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:393385 HCAPLUS Full-text

DOCUMENT NUMBER:

147:72240

TITLE:

Asymmetric bioreduction of activated C:C bonds

using enoate reductases from the old yellow

enzyme family

AUTHOR(S):

Stuermer, Rainer; Hauer, Bernhard;

Hall, Melanie; Faber, Kurt

CORPORATE SOURCE:

BASF AG, GVF/E-B9, Ludwigshafen, D-67056,

SOURCE:

Current Opinion in Chemical Biology (2007),

11(2), 203-213

CODEN: COCBF4; ISSN: 1367-5931

PUBLISHER:

Elsevier B.V.

Journal; General Review DOCUMENT TYPE:

English LANGUAGE: Entered STN: 09 Apr 2007

THERE ARE 67 CITED REFERENCES AVAILABLE REFERENCE COUNT: 67

FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L45 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:446669 HCAPLUS Full-text

DOCUMENT NUMBER:

145:27376

TITLE:

Enzymes as catalysts. Chemistry and biology

hand in hand

AUTHOR (S):

Stuermer, Rainer; Breuer, Michael

CORPORATE SOURCE:

BASF Aktiengesellschaft, Ludwigshafen, 67056,

Germany

SOURCE:

Chemie in Unserer Zeit (2006), 40(2), 104-111

CODEN: CUNZAW; ISSN: 0009-2851 Wiley-VCH Verlag GmbH & Co. KGaA

PUBLISHER: DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

German

Entered STN:

12 May 2006

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE

APPLICATION NO.

IN THE RE FORMAT

L45 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:1220820 HCAPLUS Full-text 143:458684

DOCUMENT NUMBER: TITLE:

Enzymic production of chiral

alcohols using Azoarcus strain EbN1 S)-1-phenylethanol dehydrogenase

INVENTOR(S):

Stuermer, Rainer; Kesseler, Maria;

Hauer, Bernhard; Friedrich, Thomas; Breuer,

Michael; Schroeder, Hartwig

PATENT ASSIGNEE(S):

BASF Aktiengesellschaft, Germany PCT Int. Appl., 43 pp.

SOURCE:

CODEN: PIXXD2

DATE

DOCUMENT TYPE:

Patent German

KIND

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

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WO	2005.	TOOD	90		AZ		2005	111,		WO 21	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	11.40	, _		2005
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SK, TR

20070418 CN 2005-80014367 CN 1950513 Α

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<--Т 20071213 JP 2007-512023

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PRIORITY APPLN. INFO.:

JP 2007535956

DE 2004-102004022686A

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WO 2005-EP4872

2005

0504

OTHER SOURCE(S):

MARPAT 143:458684

ED Entered STN: 18 Nov 2005

L45 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2004:158288 HCAPLUS Full-text 140:302346

TITLE:

Industrial methods for the production of

optically active intermediates

AUTHOR (S):

Breuer, Michael; Ditrich, Klaus; Habicher,

Tilo; Hauer, Bernhard; Kesseler, Maria;

Stuermer, Rainer; Zelinski, Thomas-

CORPORATE SOURCE:

Forschung Feinchemikalien & Biokatalyse, BASF

Aktiengesellschaft, Ludwigshafen, 67056,

Germany

SOURCE:

Angewandte Chemie, International Edition (

2004), 43(7), 788-824

CODEN: ACIEF5; ISSN: 1433-7851 Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE:

PUBLISHER:

Journal; General Review

LANGUAGE:

English ED Entered STN: 27 Feb 2004

REFERENCE COUNT: 393

THERE ARE 393 CITED REFERENCES AVAILABLE

FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

L45 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2003:331990 HCAPLUS Full-text

DOCUMENT NUMBER:

138:350486

TITLE: .

Oxyanion hole variants of lipases with increased specific activity for use in

catalysis of stereospecific esterification and

Hauer, Bernhard; Klebe, Gerhard; Bocola, Marco

hydrolysis

INVENTOR(S):

Matuschek, Markus; Stuermer, Rainer;

PATENT ASSIGNEE(S):

BASF AG, Germany Ger. Offen., 16 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO.

DATE

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A1
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                                            DE 2001-10151292
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                                20030501
                                            WO 2002-EP11620
    WO 2003035878
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    WO 2003035878
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            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
            MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,
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                                20030506
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                                20050303
                                            JP 2003-538378
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    US 7314739
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PRIORITY APPLN. INFO.:
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                                            DE 2002-10205444
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                                            WO 2002-EP11620
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    Entered STN: 01 May 2003
ED
L45 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN
                         2002:172063 HCAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         136:228758
                         Butinol I esterase from Pseudomonas glumae for
TITLE:
                         use in enantioselective hydrolysis and cloning
                         and expression of the gene for the enzyme
INVENTOR (S):
                         Hauer, Bernhard; Friedrich, Thomas; Nuebling,
                         Christoph; Stuermer, Rainer
PATENT ASSIGNEE(S):
                         Basf Aktiengesellschaft, Germany
SOURCE:
                         PCT Int. Appl., 36 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
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LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

German

	PAT	TENT NO.		KIND	DATE	APPLICATION NO.	DATE
	WO	2002018560	٠	A2	20020307	WO 2001-EP10040	2001 0830
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	DE	10042892	,			DE 2000-10042892	2000 0831
	DE	10131544		A1	20030116	< DE 2001-10131544	
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	AU	200184047		A	20020313	< AU 2001-84047	2001 0830
	E-D	1212060		A2	20020529	< EP 2001-962989	0030
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						<	2001 0830
	EE	200300086		Α	20041215	EE 2003-86	2001 0830
	AU	2001284047		B2	20070329	< AU 2001-284047	2001
		20025501222				< MX 2003-PA1333	0830
	MX	2003PA01333		A	20030606		2003 0213
	US	2005181472		A1	20050818		2003 0225
PRIO	RIT	Y APPLN. INFO	.: ·			< DE 2000-10042892 A	2000 0831

<--DE 2001-10131544 2001 0629 WO 2001-EP10040 2001

0830

OTHER SOURCE(S):

MARPAT 136:228758

Entered STN: 08 Mar 2002

ACCESSION NUMBER:

L45 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN 2002:463997 HCAPLUS Full-text

DOCUMENT NUMBER:

137:48866

TITLE: INVENTOR(S): Racemization of optically active amines Funke, Frank; Liang, Shelue; Kramer, Andreas;

<--

Stuermer, Rainer; Hoehn, Arthur

PATENT ASSIGNEE(S):

Basf Aktiengesellschaft, Germany Eur. Pat. Appl., 14 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
 EP 1215197	A2	20020619	EP 2001-128602	
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DE 10062729	A1		DE 2000-10062729	
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ES 2237523	Т3	20050801	ES 2001-1128602	
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US 2002120166	A1	20020829	US 2001-12344	
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us 6548704	B2			
CN 1363549	A	20020814	CN 2001-142892	
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				1214
			<	
JP 2002226437	A	20020814	JP 2001-383504	
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				1217
			<	
us 6576795	B1	20030610	US 2002-261123	
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Page 15

2000 1215

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A3 US 2001-12344

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OTHER SOURCE(S):

MARPAT 137:48866

Entered STN: 21 Jun 2002

ACCESSION NUMBER:

L45 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN 2001:780338 HCAPLUS

Full-text

DOCUMENT NUMBER:

135:328762

TITLE:

Procedure for covalent immobilization of

biologically active materials on polyurethane

foams for use in chiral synthesis

INVENTOR(S):

Falke, Peter; Hendreich, Regina; Stuermer, Rainer; Friedrich, Thomas

PATENT ASSIGNEE(S):

Basf A.-G., Germany

SOURCE:

Ger. Offen., 10 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KINI	I DUI		DATE		APPLICATION NO.					D	ATE		
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DE	1001	9380			A1		2001	1025	I	DE 2	000-	1001	9380			
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							LV,									
AT	2416	•	- •	-,	T		2003	•		AT 2	001-	1075	66			
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PRIORITY APPLN. INFO.:

DE 2000-10019380

2000

0327

0419

Entered STN: 26 Oct 2001 ED

L45 ANSWER 25 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2001:780337 HCAPLUS Full-text

DOCUMENT NUMBER:

135:315323

TITLE:

SOURCE:

Immobilization of biologically active materials on polymer foams for use in

chiral synthesis

INVENTOR(S):

Falke, Peter; Hendreich, Regina; Stuermer, Rainer; Friedrich, Thomas

PATENT ASSIGNEE(S):

Basf A.-G., Germany Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE APPLICATION NO.

DATE

10/587,440 DE 10019377 A1 20011025 DE 2000-10019377 2000 0419 PRIORITY APPLN. INFO.: DE 2000-10019377 2000 0419 <--ED Entered STN: 26 Oct 2001 L45 ANSWER 26 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:36756 HCAPLUS Full-text 132:207902 DOCUMENT NUMBER: Optically Active Transition-Metal Complexes. TITLE: 10.1 Bifunctional Arene-Chromium-Tricarbonyl Complexes Derived from (R)-Phenylethanamine: Easily Accessible Planar-Chiral Diphosphines and Their Application in Enantioselective Hydrogenation, Hydroamination, and Allylic Sulfonation Vasen, Daniela; Salzer, A.; Gerhards, Frank; AUTHOR(S): Gais, Hans-Joachim; Stuermer, Rainer ; Bieler, Nikolaus H.; Togni, Antonio CORPORATE SOURCE: Institut fuer Anorganische Chemie, RWTH Aachen, Aachen, D 52056, Germany SOURCE: Organometallics (2000), 19(4), 539-546 CODEN: ORGND7; ISSN: 0276-7333 PUBLISHER: American Chemical Society DOCUMENT TYPE: Journal LANGUAGE: English Entered STN: 18 Jan 2000 REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L45 ANSWER 27 OF 30 HCAPLUS COPYRIGHT 2008 ACS on STN 1999:35084 HCAPLUS Full-text ACCESSION NUMBER: DOCUMENT NUMBER: 130:66802 Resolution of racemic amino acid TITLE: esters by enzyme-catalyzed acylation Stuermer, Rainer; Ditrich, Klaus; INVENTOR (S): Siegel, Wolfgang PATENT ASSIGNEE(S): BASF A.-G., Germany SOURCE: Ger. Offen., 6 pp. CODEN: GWXXBX DOCUMENT TYPE: Patent German LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19727517	Al	19990107	DE 1997-19727517	1997
EP 890649	A1	19990113	< EP 1998-109999	0630
				1998 0602
			<	

EP 890649 В1 20040303

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

		10/587,4	440							
AT 260984	T	20040315	AT	1998-109999		1998 0602				
ES 2217452	т3	20041101	ES	< 1998-109999		1998				
IN 1998MA01407	A	20050304	IN	< 1998-MA1407	(0602				
				<		1998 0624				
CN 1203949	A	19990106	CN	1998-115528		1998 0629				
CN 1102661 JP 11069992	B A	20030305 19990316	JP	1998-184055		1998				
PRIORITY APPLN. INFO.:		•	DE	< 1997-19727517	A	0630				
OFFICE COUNCE (S)	WADDAT	120.66902		<		1997 0630				
	1999	130:66802								
L45 ANSWER 28 OF 30 HCA ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:	1999:4 131:28 Asymme to Ena Zircon Asymme	tric Therma ntiopure Bi ocene Compl	LUS l Tra pheny exes: Hydro	Full-text ansformation, a N yl-Bridged Titano Efficient Catal ogenation. [Errat	cene ysts	and for				
AUTHOR(S):	Ringwald, Markus; Stuermer, Rainer; Brintzinger, Hans H. Fakultant fuer Chemie Universitant Konstanz									
CORPORATE SOURCE:	Fakultaet fuer Chemie, Universitaet Konstanz, Konstanz, D-78457, Germany Journal of the American Chemical Society (
SOURCE:	1999), 121(31), 7278 CODEN: JACSAT; ISSN: 0002-7863									
PUBLISHER: DOCUMENT TYPE: LANGUAGE: ED Entered STN: 28 Jui	American Chemical Society Journal English									
L45 ANSWER 29 OF 30 HC. ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:	1997:1 126:15 Transf	48796 HCAP 7639 ormation of	LUS ach:							
INVENTOR(S):	form Fische Stnerm Schwei	r, David; L er, Rainer ;	angha Keri	oure enantiomeric auser, Franz; th, Juergen; rintzinger, Hans-		ert;				
PATENT ASSIGNEE(S): SOURCE:	BASF A Ger. O	G., Germa ffen., 12 p GWXXBX								
DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:	Patent German									

10/587.440

10/387,440																
PA1	CENT	NO.			KIN	D -	DATE			API	PLICAT	ION N	ю.			DATE
	1952				A 1		19970	0116		DE	1995-	19525	184			1995 0711
WO	9703	081			A1		19970	0130		WO	< 1996-	EP286	59			1996
	w:	CN,	JP,	US							<					0701
	RW:		BE, PT,		DE,	DK,	ES,	FI,	FR,	GE	B, GR,	IE,	IT,	LU,	MC	.,
EP	8378		•		A1		19980	0429		EP	1996-	92483	2			1996 0701
	8378 R: 1190	AT,			ES,	FR,	20011 GB,	IT,			< : 1996-	10540	.6			
CIV	1190	399			А		19900	7012		CIV	<	19340	0			1996 0701
	1065 1150				B T		20010 19990			JP	1997-	50546	8			1996
AT	2087	86			т		20011	1115			< 1996-	92483	2			1996
US	5840	950			A		19981	L124			< 1998-	98163	8			0701
											<			_		1998 0108
ORIT	Y APP	LN.	INFO	.:						DE	1995-	19525	184	1	Α.	1995 0711
										WO	< 1996-	EP286	9	Ţ	Ñ	1996 0701
ER SC							126:1	L5763	39		<					
ESSICUMENT	ON NU	MBER	:		1995 122	5:26 :213	53727 3812	HC	APLU	IS	CS on	text	-11			

TITLE:

AUTHOR(S):

SOURCE:

Stereoselective synthesis of alcohols. XLVII.

Application of chiral

Z-pentenylboronates to the synthesis of

erythronolide building blocks Hoffmann, Reinhard W.; Stuermer,

Rainer

CORPORATE SOURCE:

Fachbereich Chemie, Philipps-Universitaet

Marburg, Marburg, D-35032, Germany Chemische Berichte (1994), 127(12),

2511-18

CODEN: CHBEAM; ISSN: 0009-2940

VCH PUBLISHER: DOCUMENT TYPE: LANGUAGE:

Journal English Entered STN: 24 Dec 1994

TEXT SEARCH

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     (FILE 'CASREACT' ENTERED AT 15:31:03 ON 03 JAN 2008)
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T.19
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             1 SEA FILE=REGISTRY ABB=ON PLU=ON 116539-55-0/RN
L6
             1 SEA FILE=REGISTRY ABB=ON PLU=ON 260354-12-9/RN
L7
            1 SEA FILE=REGISTRY ABB=ON PLU=ON 164071-56-1/RN
            6 SEA FILE=CASREACT ABB=ON PLU=ON L5/RCT(L)L6/PRO
L15
             4 SEA FILE=CASREACT ABB=ON PLU=ON L5/RCT(L)L7/PRO
L16
             2 SEA FILE=CASREACT ABB=ON PLU=ON L7/RCT(L)L8/PRO
T.17
L18
             4 SEA FILE=CASREACT ABB=ON PLU=ON L8/RCT(L)L6/PRO
             7 SEA FILE=CASREACT ABB=ON PLU=ON (L15 OR L16 OR L17
L19
               OR L18)
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L44
            15 S L43 AND L23
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             1 SEA FILE=REGISTRY ABB=ON PLU=ON 260354-12-9/RN
L7
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                                         PLU=ON
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L23
               MY<2005 OR REVIEW/DT
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L28
L29
             46 SEA FILE=HCAPLUS ABB=ON PLU=ON
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                                               L28 AND L29
L30
             7 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
L31
L32
             5 SEA FILE=HCAPLUS ABB=ON
                                        PLU=ON L28 AND L31
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                                        PLU=ON
                                               L8
L33
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L34
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L35
L36
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L37
               OR L35 OR L36))
L38
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               T.29
          19367 SEA FILE=HCAPLUS ABB=ON PLU=ON
L39
                                               L12
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L40
L41
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               AND L39
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               L38 OR L40
L43
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T.44
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PROCESSING COMPLETED FOR L44
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                ANSWERS '8-15' FROM FILE HCAPLUS
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TEXT SEARCH RESULTS

=> d 146 1-7 ibib ab fhit ind

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L46 ANSWER 1 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 1
```

ACCESSION NUMBER: 143:192413 CASREACT Full-text

TITLE: A chemoenzymic synthesis of enantiomerically

pure aminoalcohols Stuermer, Rainer

INVENTOR(S): BASF Aktiengesellschaft, Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 14 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KII	ND	DATE								DATE	50118 BZ,		
WO	2005	215 A1			2005	0811			0 20			20050118				
		CA,	CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	
		ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
		ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	
		MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	
		PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	
		TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	zw			
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		ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	
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		LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	
		,	•	•	•	GN,					•					
															0040129	
EP	1713															
	R:					DK,										
		MC,	PT,	ΙE,	SI,	LT,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	ΗU,	PL,	
•		sĸ,														
	1914															
	2007													2005		
	2007				1	2007	0607									
CORIT	Y APP	LN.	INFO	.:					D:	E 20	04-1	0200	4004	7192	0040129	

20050118 WO 2005-EP420

A process is provided for the chemoenzymic synthesis of (1S)-3-methylamino-1-(2-AB thienyl)-propan-1-ol from 3-chloro-1-(2-thienyl)-1-propanone using a three step procedure. First, 3-chloro-1-(2-thienyl)-1-propanone is chemical reduced to 3-chloro-1-(2-thienyl)-1-propanol using sodium borohydride. This product is then stereoselectively acylated succinic anhydride in a kinetic resolution catalyzed by an immobilized lipase. The unreacted 3S-chloro-1-(2-thienyl)-1-propanol is separated from the R conjugate base and then aminated with methylamine to form (1S)-3-methylamino-1-(2-thienyl)-propan-1-ol.

2 A ===> B + C... RX(1) OF 6

$$C1$$
 A CH_2C1 CH_2C1

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RCT A 40570-64-7
RX(1)
            STAGE (1)
               RGT D 16940-66-2 NaBH4, E 1310-73-2 NaOH
               SOL 7732-18-5 Water, 67-56-1 MeOH, 108-88-3 PhMe
               CON SUBSTAGE(1) 0 deg C
                    SUBSTAGE(2) 2.5 hours, 0 deg C
                    SUBSTAGE(3) 40 minutes, 0 deg C
            STAGE (2)
               RGT F 64-19-7 AcOH
                    7732-18-5 Water
               SOL
               CON 0 deg C
          PRO B 260354-12-9, C 23229-69-8
     ICM C07D333-14
IC
     ICS C07D333-20
     16-5 (Fermentation and Bioindustrial Chemistry)
CC
     Section cross-reference(s): 27
ST
     chiral aminoalc synthesis chemoenzymic
ΙT
     Amination
     Crystallization
     Reduction
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
IT
     Hydrocarbons, processes
     RL: BCP (Biochemical process); BIOL (Biological study); PROC
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
     Alcohols, preparation
TΤ
     RL: IMF (Industrial manufacture); PRP (Properties); PUR
     (Purification or recovery); PREP (Preparation)
        (chiral, amino; chemoenzymic synthesis of enantiomerically pure
        aminoalcs.) .
     Burkholderia
IT
     Pseudomonas
        (claimed lipase source; chemoenzymic synthesis of
        enantiomerically pure aminoalcs.)
IT
     Acylation
        (enzymic, Stereoselective; chemoenzymic synthesis of
        enantiomerically pure aminoalcs.)
ΙT
     Resolution (separation)
        (enzymic, kinetic; chemoenzymic synthesis of enantiomerically
        pure aminoalcs.)
     Enzymes, uses
IT
```

```
RL: BCP (Biochemical process); CAT (Catalyst use); BIOL
     (Biological study); PROC (Process); USES (Uses)
        (immobilized; chemoenzymic synthesis of enantiomerically pure
        aminoalcs.)
ΙT
     Acylation
        (stereoselective, enzymic; chemoenzymic synthesis of
        enantiomerically pure aminoalcs.)
ΙT
     9001-62-1, Lipase
     RL: BCP (Biochemical process); CAT (Catalyst use); BIOL
     (Biological study); PROC (Process); USES (Uses)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
     108-30-5, Succinic anhydride, reactions
IT
     RL: BCP (Biochemical process); RCT (Reactant); BIOL (Biological
     study); PROC (Process); RACT (Reactant or reagent)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
     RL: BPN (Biosynthetic preparation); CPS (Chemical process); PEP
     (Physical, engineering or chemical process); PUR (Purification or
     recovery); RCT (Reactant); BIOL (Biological study); PREP
     (Preparation); PROC (Process); RACT (Reactant or reagent)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
IT
     23229-69-8P 861995-99-5P
     RL: BYP (Byproduct); PREP (Preparation)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
     96-49-1, Ethylene carbonate
                                   108-32-7, Propylene carbonate
     142-82-5, Heptane, processes
     RL: CPS (Chemical process); PEP (Physical, engineering or chemical
     process); PROC (Process)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
     260354-12-9P, 3-Chloro-1-(2-thienyl)-propan-1-ol
ΙT
     RL: CPS (Chemical process); PEP (Physical, engineering or chemical
     process); PUR (Purification or recovery); RCT (Reactant); SPN
     (Synthetic preparation); PREP (Preparation); PROC (Process); RACT
     (Reactant or reagent)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
     74-89-5, Methylamine, reactions 16940-66-2, Sodium borohydride
TT
     40570-64-7
     RL: CPS (Chemical process); PEP (Physical, engineering or chemical
     process); RCT (Reactant); PROC (Process); RACT (Reactant or
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
IT
     116539-55-0P
     RL: IMF (Industrial manufacture); PRP (Properties); PUR
     (Purification or recovery); PREP (Preparation)
        (chemoenzymic synthesis of enantiomerically pure aminoalcs.)
REFERENCE COUNT:
                         6
                               THERE ARE 6 CITED REFERENCES AVAILABLE
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT
L46 ANSWER 2 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 2
                         142:392275 CASREACT Full-text
ACCESSION NUMBER:
                         enzymic and nonenzymic methods for the
TITLE:
                         preparation of 3-methylamino-1-(thien-2-
                         yl) propan-1-ol.
                         Stuermer, Rainer; Kesseler, Maria; Hauer,
INVENTOR(S):
                         Bernhard; Friedrich, Thomas; Breuer, Michael
                         BASF Aktiengesellschaft, Germany
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 69 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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D. . . . 02

APPLICATION NO. DATE

KIND DATE

PATENT NO.

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WO 2005033094
                       A2
                            20050414
                                           WO 2004-EP10939 20040930
     WO 2005033094
                       A3
                            20051124
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
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             ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP,
                        KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
                        MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
             MG, MK, MN,
                        SC, SD, SE, SG, SK, SL, SY, TJ,
                                                         TM, TN, TR,
             PT, RO, RU,
                        UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
             TT, TZ, UA,
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
             CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI,
             CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           DE 2003-10345772 20031001
     DE 10345772
                       A1
                            20050421
     EP 1670779
                            20060621
                                           EP 2004-765718
                       A2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
             MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
             EE, HU, PL, SK, HR
                                           CN 2004-80028108 20040930
                            20061108
     CN 1860110
                       Α
     JP 2007533628
                       Т
                            20071122
                                           JP 2006-530058
                                                             20040930
                                           US 2006-573130
     US 2007083055
                            20070412
                                                             20060517
                       A1
                                           DE 2003-10345772 20031001
PRIORITY APPLN. INFO .:
                                           WO 2004-EP10939 20040930
```

AB The invention relates to enzymic and non-enzymic methods for the production of 3methylamino-1-(thien-2-yl)propan-1-ol, o enzymes for carrying out said method, nucleic acid sequences coding for said enzymes, expression cassettes containing them, vectors and recombinant hosts. A process for preparation of 3-methylamino-1-(thien-2yl)propan- 1-ol comprises reaction of thiophene with a β -halopropionyl halide or an acryloyl halide in the presence of a Lewis acid to obtain a 3-halo-1-(thien-2yl)propan-1-one, reduction, and treatment with MeNH2. A hydrogen halide is added during or after the first reaction step but before isolation of propanone product. (S)-3-methylamino-1-(thien-2-yl)propan-1-ol is prepared via treatment of the propanone with a chiral reducing agent. Thus, thiophene in dichloroethane was treated with AlCl3 and then with 3-chloropropionyl chloride followed by stirring for 12 h and addition of gaseous HC1 to give 96% 3-chloro-1-(thien-2-yl)propan-1-one. The latter in PhMe/MeOH at 0° was treated with 30% aqueous NaOH and then with NaBH4; after 40 min. aqueous MeNH2 was added followed by stirring for 6 h at 60° to give 3-methylamino-1-(thien-2yl)propan-1-ol.

RX(3) / OF 5 ...**c** + G ===> **N**

RX(3) RCT C 40570-64-7, G 74-89-5

STAGE(1)

SOL 7732-18-5 Water CON 6 hours, 60 deg C

STAGE(2) CAT 9001-62-1 Lipase

PRO N 116539-55-0

NTE biotransformation, described medium, stereoselective,

```
dehydrogenase from Lactobacillus brevis used as catalyst
               in second stage
     ICM C07D333-16
     27-8 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 16
ST
     methylaminothienylpropanol enzymic nonenzymic prepn;
     thienylpropanol methylamino enzymic nonenzymic prepn;
     thiophenemethanol methylaminoethyl prepn enzymic nonenzymic;
     thiophene chloropropionyl chloride Friedel Crafts reaction;
     thienylchloropropanone redn amination enzymic chem
     Alcohols, preparation
     RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture);
     SPN (Synthetic preparation); BIOL (Biological study); PREP
     (Preparation)
        (chiral; enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
IT
     Asymmetric synthesis and induction
     Friedel-Crafts reaction
     Reduction
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     Lewis acids
     RL: CAT (Catalyst use); USES (Uses)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
ፐጥ
     Reduction
        (enzymic; enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     116539-55-0P, (S)-3-Methylamino-1-(thien-2-yl)propan-1-ol
IT
     RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture);
     BIOL (Biological study); PREP (Preparation)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     7446-70-0, Aluminum chloride, uses
TT
                                          9028-12-0, e.c.1.1.1.2
     9028-53-9, Glucose dehydrogenase 9031-72-5, e.c.1.1.1.1
     9035-82-9, Dehydrogenase
     RL: CAT (Catalyst use); USES (Uses)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     116539-56-1P, 3-Methylamino-1-(thien-2-yl)propan-1-ol
IT
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation);
     PREP (Preparation)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     67-56-1, Methanol, uses 108-88-3, Toluene, uses
IT
                                                          1300-21-6,
     Dichloroethane
     RL: NUU (Other use, unclassified); USES (Uses)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     74-89-5, Methylamine, reactions
                                       110-02-1, Thiophene
IT
                                                              625-36-5,
     3-Chloropropionyl chloride
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
TΤ
     40570-64-7P, 3-Chloro-1-(thien-2-yl)propan-1-one
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
     53-57-6, Nadph
                     58-68-4, Nadh
                                      7647-01-0, Hydrogen chloride,
     reactions
     RL: RGT (Reagent); RACT (Reactant or reagent)
        (enzymic and nonenzymic methods for the preparation of
        methylaminothienylpropanol)
                                 849850-95-9
IT
                   849850-93-7
                                                849850-96-0
     849850-91-5
     849850-97-1
                   849850-98-2
                                 849850-99-3
```

```
RL: PRP (Properties)
        (unclaimed nucleotide sequence; enzymic and nonenzymic methods
        for the preparation of 3-methylamino-1-(thien-2-yl)propan-1-ol.)
                                 849850-94-8
                                               850101-05-2
IT
     849850-90-4
                  849850-92-6
     RL: PRP (Properties)
        (unclaimed protein sequence; enzymic and nonenzymic methods for
        the preparation of 3-methylamino-1-(thien-2-yl)propan-1-ol.)
IT
     849819-89-2
     RL: PRP (Properties)
        (unclaimed sequence; enzymic and nonenzymic methods for the
        preparation of 3-methylamino-1-(thien-2-yl)propan-1-ol.)
L46 ANSWER 3 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 3
```

140:77017 CASREACT Full-text ACCESSION NUMBER:

TITLE:

Process for preparation of an optically active isomer of heteroarylmonoalkylaminoalkanols, in particular (S)-1-(2-Thiophene)-3-methylamino-1propanol, by resolution of their racemates

with diprogulic acid diprogulic acid

Roussiasse, Sonia; Frein, Stephane; Burgos, INVENTOR(S):

Alain; Bertrand, Blandine; Clementz, Myriam;

Total, Avril

PATENT ASSIGNEE(S): PPG-Sipsy, Fr.

Fr. Demande, 16 pp. SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAI	KI	ND	DATE			A	PPLIC). 1	DATE									
				A1 20040109				R 200		20020705								
		004005220							WO 2003-FR2086 20030704									
WO	2004	0052	20	A.	3	2004	0415											
	w:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ΒG,	BR,	BY,	ΒZ,	CA,			
		CH,	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,			
		GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,			
		KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,			
		MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,			
		sc,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,			
				-		VN,					•							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,			
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,			
		DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,			
		PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,			
		GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG									
AU	2003	2632	64	A	1	2004	0123	Í	A	U 20	03-2	6326	4	2003	0704			
PRIORIT	APP	LN.	INFO	.:					F	R 20	02-8	516		2002	0705			
									W	0 20)3-F	R208	6	2003	0704			

MARPAT 140:77017

The invention is directed to a process for preparation of an optically active isomer of I by resolution of its racemate with diprogulic acid or a salt of this acid [wherein Ar = heteroaryl; R1 = alkyl; R2, R3 = independently H, alkyl; X = (CH2)n; n = 0-4]. The advantage includes the preparation of desired optically active heteroarylmonoalkylaminoalkanols, in particular (S)-II, well-known intermediate in the synthesis of duloxetine. For example, (S)-II was prepared by resolution of racemic-II with diprogulic acid in 2-propanol, recrystn. from ethanol to give II diprogulic acid in 91% yield and 95% d.e., followed by hydrolysis. Racemic-II was prepared by acylation of thiophene with propionyl chloride, reduction with NaBH4/EtOH, and alkylation with methylamine.

RX(3) OF 12 ... I ===> A...

$$CH_2C1$$
 CH_2C1
 A
 CH_2C1

RX (3)

```
STAGE(1)
  RGT L 16940-66-2 NaBH4
  SOL 64-17-5 EtOH
       SUBSTAGE(1) 15 minutes, room temperature
        SUBSTAGE(2) room temperature -> -6 deg C
STAGE (2)
  RCT I 40570-64-7
  CON 40 minutes, -2 deg C
STAGE (3)
  SOL 75-09-2 CH2C12
  CON 1 hour, -3 deg C
STAGE (4)
  RGT M 12125-02-9 NH4Cl
  SOL 7732-18-5 Water
  CON SUBSTAGE(1) 40 minutes, -3 - 0 deg C
       SUBSTAGE(2) 2 hours, room temperature
```

PRO A 260354-12-9

IC ICM C07B055-00 ICS C07D333-20

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 45

ST heteroarylmonoalkylaminoalkanol prepn resoln racemic diprogulic acid; thiophene methylaminopropanol prepn resoln racemic diprogulic acid

IT Resolution (separation)

(of racemic; process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

IT Alcohols, preparation

RL: IMF (Industrial manufacture); PREP (Preparation)
(secondary, chiral, chiral alc. product; process for preparation of
optically active heteroarylmonoalkylaminoalkanols by resolution of
its racemates with diprogulic acid diprogulic acid)

IT Alcohols, preparation

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(secondary, intermediate; process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

IT 625-36-5, 3-Chloropropionyl chloride

RL: RCT (Reactant); RACT (Reactant or reagent)
(Friedel-Crafts acylation by, of thiophene; process for preparation
of optically active heteroarylmonoalkylaminoalkanols by resolution
of its racemates with diprogulic acid diprogulic acid)

IT 110-02-1, Thiophene

RL: RCT (Reactant); RACT (Reactant or reagent)
(Friedel-Crafts acylation of, with chloropropionyl chloride;

process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

IT 116539-55-0P

> RL: IMF (Industrial manufacture); PREP (Preparation) (chiral thiophenylalc. product; process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

IT 569687-76-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(diastereomeric salt intermediate; process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

40570-64-7P, 3-Chloro-1-(2-thiophene) propanone IT 260354-12-9P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP

(Preparation); RACT (Reactant or reagent)

2

(intermediate; process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

IT 18467-77-1, Diprogulic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(resolving agent; process for preparation of optically active heteroarylmonoalkylaminoalkanols by resolution of its racemates with diprogulic acid diprogulic acid)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 4 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 4

ACCESSION NUMBER:

140:357198 CASREACT Full-text

TITLE:

Procedure for the production of

INVENTOR(S):

thienyl-substituted secondary aminoalcohols Heldmann, Dieter; Stohrer, Juergen; Zauner,

Raffael

PATENT ASSIGNEE(S):

Consortium Fuer Elektrochemische Industrie

GmbH, Germany

SOURCE:

Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE DE 10248479 20040506 DE 2002-10248479 20021017 A1 PRIORITY APPLN. INFO.: DE 2002-10248479 20021017 MARPAT 140:357198 OTHER SOURCE(S):

Thienyl-substituted β -haloketones (I; X = Br, Cl) were prepared by reacting thiophene with an acid halide XCH2CH2C(0)Cl (X as above) in the presence of a Friedel-Crafts catalyst selected from organic or inorg. acids, metals, perchlorates, H3PO4 derivs., or halides. The reaction is carried out in such a way that the Friedel-Crafts catalyst is treated with the thiophene and an acid halide. The invention relates as well as preparation of thienyl-substituted secondary aminoalcs. (III; R = alkyl, aralkyl, aryl) by (1) reduction of I to II (X as above), and (2) reacting II with RNH2 (R as above) in a closed system at 0°- 400°. Thus, a suspension of AlCl3 in CH2Cl2 was cooled in an ice bath followed by dropwise treatment with 3-chloropropionyl chloride and subsequently with thiophene at <20°. The reaction mixture was stirred for 1 h at room temperature to give 87% 3-chloro-1-(2-thienyl)-1-propanone. 3-Chloro-1-(2-thienyl)-1propanol (preparation given) and MeNH2 in THF were heated at 80° for 5 h to give 68% 3methylamino-1-(2-thienyl)-1-propanol with a purity of >99%.

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RX(2) OF 7 ...2 C ===> G + H...
```

$$C1$$
 C C C C C C

```
STAGE(1)
RGT I 16940-66-2 NaBH4, J 1310-73-2 NaOH
```

SOL 67-63-0 Me2CHOH, 7732-18-5 Water

CON SUBSTAGE(1) room temperature -> -10 deg C

SUBSTAGE(2) -10 deg C -> 10 deg C

SUBSTAGE(3) 2 hours, 10 deg C -> room temperature

STAGE (2)

RCT C 40570-64-7

RGT K 12125-02-9 NH4C1

SOL 7732-18-5 Water

CON room temperature

PRO G 260354-12-9, H 23229-69-8

NTE product ratio is 9:1

IC ICM C07D333-16

RX(2)

ICS C07D333-14

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

ST chlorothienylpropanone prepn; propanone chloro thienyl prepn; chloropropionyl chloride thiophene Friedel Crafts acylation; methylaminothienylpropanol prepn; propanol methylamino thienyl prepn

IT Acids, uses

RL: CAT (Catalyst use); USES (Uses)

(inorg.; procedure for production of thienyl-substituted secondary aminoalcs.)

IT Acids, uses

RL: CAT (Catalyst use); USES (Uses)

(organic; procedure for production of thienyl-substituted secondary aminoalcs.)

IT Friedel-Crafts reaction catalysts

(procedure for production of thienyl-substituted secondary aminoalcs.)

IT Halides

Metals, uses

Perchlorates

RL: CAT (Catalyst use); USES (Uses)

(procedure for production of thienyl-substituted secondary aminoalcs.)

```
Friedel-Crafts reaction
IT
        (procedure for production of thienyl-substituted secondary
        aminoalcs. by)
IT
     23229-69-8P, 1-(2-Thienyl)-1-propanol
     RL: BYP (Byproduct); PREP (Preparation)
        (procedure for production of thienyl-substituted secondary
        aminoalcs.)
     7446-70-0, Aluminum chloride, uses
                                          7664-38-2D, Phosphoric acid,
     derivs.
     RL: CAT (Catalyst use); USES (Uses)
        (procedure for production of thienyl-substituted secondary
        aminoalcs.)
                                                       116539-56-1P,
IT
     40570-64-7P, 3-Chloro-1-(2-thienyl)-1-propanone
     3-Methylamino-1-(2-thienyl)-1-propanol
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (procedure for production of thienyl-substituted secondary
ΙT
     110-02-1, Thiophene
                           625-36-5, 3-Chloropropionyl chloride
     681801-21-8
                   689262-41-7
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (procedure for production of thienyl-substituted secondary
        aminoalcs.)
     260354-12-9P, 3-Chloro-1-(2-thienyl)-1-propanol
ΙT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (procedure for production of thienyl-substituted secondary
        aminoalcs.)
IT
     116539-55-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (procedure for production of thienyl-substituted secondary
        aminoalcs.)
ΙT
     50-67-9, Serotonin, biological studies
                                             14838-15-4, Norephedrine
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (uptake inhibitors; procedure for production of thienyl-substituted
        secondary aminoalcs.)
L46 ANSWER 5 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 5
                         140:145879 CASREACT Full-text
ACCESSION NUMBER:
                         Duloxetine (Cymbalta), a dual inhibitor of
TITLE:
                         serotonin and norepinephrine reuptake
                         Bymaster, F. P.; Beedle, E. E.; Findlay, J.;
AUTHOR(S):
                         Gallagher, P. T.; Krushinski, J. H.; Mitchell,
                         S.; Robertson, D. W.; Thompson, D. C.;
                         Wallace, L.; Wong, D. T.
CORPORATE SOURCE:
                         Eli Lilly and Company, Lilly Research
                        Laboratories, Lilly Corporate Center,
                         Indianapolis, IN, 46285, USA
                         Bioorganic & Medicinal Chemistry Letters
SOURCE:
                         (2003), 13(24), 4477-4480
                         CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:
                         Elsevier Science B.V.
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     A series of naphthalenyloxy-substituted amines I (n = 2 - 4, R = H; n = 1, R = H, Ph,
     4-FC6H4, 2-MeOC6H4, 2-furyl, 2-thienyl, 2-thiazolyl, etc.) has been prepared, and these
     compds. are demonstrated to be inhibitors of both serotonin and norepinephrine
     reuptake. One member of this series, duloxetine (Cymbalta), (S)-I (n = 1; R = 2-
     thienyl), has proven to be effective in clin. trials for the treatment of depression.
```

RX (16) OF 32 ...AV + L ===> AX...

$$AV$$
 $C1$
 H_3C
 H
 $C1$
 AX
 AX
 $C1$
 AX
 AX
 AX
 AX
 AX

```
RX (16)
          RCT AV 164071-56-1
```

STAGE (1)

RGT S 7681-82-5 NaI SOL 67-64-1 Me2CO

STAGE (2)

RCT L 74-89-5 SOL 109-99-9 THF

PRO AX 116539-55-0

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

- amine naphthalenyloxy prepn dual inhibitor serotonin norepinephrine reuptake antidepressive; naphthalene aminoalkoxy prepn dual inhibitor serotonin norepinephrine reuptake antidepressive
- IT Mental and behavioral disorders

(depression; preparation of naphthalenyloxy-substituted amines as dual inhibitors of serotonin and norepinephrine reuptake and antidepressive agents)

IT 5-HT reuptake inhibitors

Antidepressants

Human

(preparation of naphthalenyloxy-substituted amines as dual inhibitors of serotonin and norepinephrine reuptake and antidepressive agents)

88-15-3, 2-Acetylthiophene 1192-62-7, 2-Acetylfuran 1468-83-3,

3-Acetylthiophene 24295-03-2, 2-Acetylthiazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(Mannich reaction; preparation of naphthalenyloxy-substituted amines as dual inhibitors of serotonin and norepinephrine reuptake and antidepressive agents)

ΙT 13636-02-7P 116539-55-0P 116817-84-6P 653573-72-9P 653573-73-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(O-arylation; preparation of naphthalenyloxy-substituted amines as dual inhibitors of serotonin and norepinephrine reuptake and antidepressive agents)

IT 164071-56-1P

653573-48-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(amination; preparation of naphthalenyloxy-substituted amines as dual inhibitors of serotonin and norepinephrine reuptake and antidepressive agents)

63964-28-3P 653573-37-6P 653573-38-7P 653573-39-8P TT 653573-41-2P 653573-40-1P 653573-42-3P 653573-43-4P 653573-44-5P 653573-45-6P 653573-46-7P 653573-47-8P 653573-49-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(demethylation; preparation of naphthalenyloxy-substituted amines as dual inhibitors of serotonin and norepinephrine reuptake and antidepressive agents)

```
IT
     106-93-4, 1,2-Dibromoethane
                                   109-64-8, 1,3-Dibromopropane
     110-52-1, 1,4-Dibromobutane
                                   6940-78-9, 1-Bromo-4-chlorobutane
     54512-75-3, 1-Bromo-5-chloropentane
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (naphthol alkylation; preparation of naphthalenyloxy-substituted
        amines as dual inhibitors of serotonin and norepinephrine
        reuptake and antidepressive agents)
IT
                                              51-41-2, Norepinephrine .
     50-67-9, Serotonin, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (preparation of naphthalenyloxy-substituted amines as dual
        inhibitors of serotonin and norepinephrine reuptake and
        antidepressive agents)
TΤ
     50882-69-4P
                  115600-83-4P
                                  116539-59-4P
                                                 116539-60-7P
     116817-13-1P
                   116817-27-7P
                                   116817-39-1P
                                                 116817-63-1P
     361395-31-5P
                    653573-30-9P
                                   653573-31-0P
                                                   653573-33-2P
     653573-34-3P
                    653573-50-3P
                                   653573-51-4P
                                                   653573-52-5P
     653573-53-6P
                    653573-54-7P
                                   653573-55-8P
                                                   653573-57-0P
                                   653573-63-8P
     653573-59-2P
                    653573-61-6P
                                                  653573-65-0P
     653573-67-2P
                    653573-69-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
     BIOL (Biological study); PREP (Preparation)
        (preparation of naphthalenyloxy-substituted amines as dual
        inhibitors of serotonin and norepinephrine reuptake and
        antidepressive agents)
IT
     90-15-3, 1-Naphthol
                           135-19-3, 2-Naphthol, reactions
                                                              321-38-0,
     1-Fluoronaphthalene
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of naphthalenyloxy-substituted amines as dual
        inhibitors of serotonin and norepinephrine reuptake and
        antidepressive agents)
TT
     3245-62-3P
                  3351-50-6P
                               13247-79-5P
                                             87723-21-5P
                                                            164071-55-0P
     164071-61-8P
                    188973-94-6P
                                   653573-32-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (preparation of naphthalenyloxy-substituted amines as dual
        inhibitors of serotonin and norepinephrine reuptake and
        antidepressive agents)
TT
     2138-33-2
                 2138-34-3
                             2138-38-7
                                         3506-36-3
                                                     13552-47-1
                  40570-64-7
     35076-32-5
                               46274-54-8
                                            46394-28-9
                                                         51949-05-4
                               634924-04-2
                                             653573-35-4
     55831-59-9
                  90548-91-7
                                                            653573-36-5
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reduction; preparation of naphthalenyloxy-substituted amines as dual
        inhibitors of serotonin and norepinephrine reuptake and
        antidepressive agents)
REFERENCE COUNT:
                               THERE ARE 8 CITED REFERENCES AVAILABLE
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT
L46 ANSWER 6 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 6
                         132:207719 CASREACT Full-text
ACCESSION NUMBER:
TITLE:
                         Chemo-enzymatic synthesis of the
                         antidepressant duloxetine and its enantiomer
                         Liu, Huiling; Hoff, Bard Helge; Anthonsen,
AUTHOR(S):
                         Thorleif
CORPORATE SOURCE:
                         Department of Chemistry, Norwegian University
                         of Science and Technology, Trondheim, Norway
SOURCE:
                         Chirality (2000), 12(1), 26-29
                         CODEN: CHRLEP; ISSN: 0899-0042
PUBLISHER:
                         Wiley-Liss, Inc.
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
     Sodium borohydride reduction of 3-chloro-1-(2-thienyl)-1-propanone gave the
     corresponding racemic alc., which was kinetically resolved with lipase B from Candida
```

blocks were converted to duloxetine and its enantiomer.

antarctica as catalyst to yield the chiral building blocks (S)-3-chloro-1-(2-thienyl)-1- propanol and the corresponding (R)-butanoate. The enantiopure chiral building

```
RX(2) OF 24
                ...C ===>
                            Н...
               CH<sub>2</sub>Cl
          RCT C 40570-64-7
RX (2)
            STAGE (1)
               RGT I 16940-66-2 NaBH4
               SOL 64-17-5 EtOH
            STAGE (2)
               RGT J 12125-02-9 NH4Cl
            STAGE (3)
               SOL 75-09-2 CH2C12
          PRO H 260354-12-9
CC
     27-8 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 9
     duloxetine enantiomer stereoselective prepn; enzymic resoln
ST
     chlorothienylpropanol intermediate duloxetine; lipase kinetic
     resoln chlorothienylpropanol
IT
     Resolution (separation)
        (kinetic; of 3-chloro-1-(2-thienyl)-1-propanol by
        lipase-catalyzed esterification)
IT
     164071-55-0P
                   164071-56-1P
     RL: BPN (Biosynthetic preparation); PUR (Purification or
     recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL
     (Biological study); PREP (Preparation); RACT (Reactant or reagent)
        (chemo-enzymic synthesis of duloxetine and its enantiomer)
IT
     260354-14-1P
     RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL
     (Biological study); PREP (Preparation); RACT (Reactant or reagent)
        (chemo-enzymic synthesis of duloxetine and its enantiomer)
     116539-59-4P, Duloxetine
                               116539-60-7P, (R)-Duloxetine
     RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation);
     BIOL (Biological study); PREP (Preparation)
        (chemo-enzymic synthesis of duloxetine and its enantiomer)
IΤ
     9001-62-1, Lipase
     RL: CAT (Catalyst use); USES (Uses)
        (chemo-enzymic synthesis of duloxetine and its enantiomer)
                           321-38-0, 1-Fluoronaphthalene
     110-02-1, Thiophene
     3-Chloropropanoyl chloride
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (chemo-enzymic synthesis of duloxetine and its enantiomer)
                   116539-55-0P
                                  116539-57-2P
     40570-64-7P
                                                  164071-58-3P
     260354-12-9P
                    260354-15-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (chemo-enzymic synthesis of duloxetine and its enantiomer)
                               THERE ARE 11 CITED REFERENCES AVAILABLE
REFERENCE COUNT:
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
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IN THE RE FORMAT

L46 ANSWER 7 OF 15 CASREACT COPYRIGHT 2008 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 123

123:55626 CASREACT Full-text

TITLE:

An asymmetric synthesis of duloxetine hydrochloride, a mixed uptake inhibitor of serotonin and norepinephrine, and its C-14

labeled isotopomers

AUTHOR(S):

Wheeler, William J.; Kuo, Fengjiun

CORPORATE SOURCE:

Lilly Res. Lab., Eli Lilly Co., Indianapolis,

IN, 46285, USA

SOURCE:

Journal of Labelled Compounds &

Radiopharmaceuticals (1995), 36(3), 213-23

CODEN: JLCRD4; ISSN: 0362-4803

PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

Two 14C-isotopomers of duloxetine HCl [S-(+)-N-methyl-γ-(1- naphthalenyloxy)-2- thiophenepropanamine hydrochloride] have been prepared by an asym. synthesis. The palladium catalyzed cross-coupling of 2-thienoyl chloride (or its [carbonyl-14C] isotopomer) with vinyltributylstannane, followed by addition of HCl afforded the key pro-chiral intermediate chloro ketone. Chiral reduction with borane in the presence of the appropriate oxazaborolidine catalyst provided the S-chloro alc. and its 14C-labeled counterpart or the analogous R-chloro alc. Activation of the chloro alcs. by reaction with NaI/acetone, followed by reaction of the corresponding iodo alcs. with methylamine yielded the penultimate amino alcs. Formation of the alkoxide with NaH, followed by reaction with 1-fluoronaphthalene yielded duloxetine or its 14C-labeled isotopomer. Alternatively, reaction of the R-chloro alc. with 1-naphthol-[1-14C] under Mitsunobu conditions afforded a aryl ether, which was in turn activated by reaction with NaI/acetone. Subsequent reaction with methylamine followed by salt formation yielded duloxetine or its naphthalene-labeled isotopomer as their HCl salts.

RX(30) OF 75 COMPOSED OF RX(9), RX(11)RX(30) X + AE ===> AF

$$X$$
 $C1$
 H_3C
 H_3C
 H_3C
 $STEPS$

RX(9) RCT X 164071-56-1 RGT AB 7681-82-5 NaI PRO AA 164071-58-3 SOL 67-64-1 Me2CO

NTE in the dark

RCT AA 164071-58-3, AE 74-89-5

PRO AF 116539-55-0

RX (11)

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SOL 109-99-9 THF, 7732-18-5 Water
     27-8 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 8
ST
     duloxetine carbon 14 labeled; asym synthesis duloxetine
TΤ
     Asymmetric synthesis and induction
        (asym. synthesis of duloxetine hydrochloride and its carbon-14.
        labeled isotopomers)
IT
     100306-34-1, Benzenemethanol, \alpha-(2-chloroethyl)-, (S)-
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (Mitsunobu reaction of chlorophenylpropanol and naphthol)
TΤ
     164071-65-2P
                    164071-66-3P
                                   164071-67-4P
                                                  164071-68-5P
    RL: BYP (Byproduct); PREP (Preparation)
        (asym. synthesis of duloxetine hydrochloride and its carbon-14
        labeled isotopomers)
IT
                   112022-83-0
    112022-81-8
     RL: CAT (Catalyst use); USES (Uses)
        (asym. synthesis of duloxetine hydrochloride and its carbon-14
        labeled isotopomers)
IT
     90-15-3, 1-Naphthalenol
                               321-38-0, 1-Fluoronaphthalene
     527-72-0, 2-Thiophenecarboxylic acid
                                           7486-35-3,
    Vinyltributylstannane
                            19481-11-9, 1-Naphthol-1-14C
                                                             61714-13-4,
     2-Thiophenecarboxylic-14C acid
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (asym. synthesis of duloxetine hydrochloride and its carbon-14
        .labeled isotopomers)
                   40570-64-7P
ΙT
     13191-29-2P
                                 116539-55-0P
                                                164071-53-8P
                    164071-55-0P
                                   164071-56-1P
     164071-54-9P
                                                   164071-57-2P
                                   164071-60-7P
     164071-58-3P
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                                                   164071-61-8P
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     164071-62-9P
                    164071-63-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (asym. synthesis of duloxetine hydrochloride and its carbon-14
        labeled isotopomers)
IT
     116539-59-4P, Duloxetine
                                136434-34-9P, Duloxetine hydrochloride
     164071-50-5P
                    164071-51-6P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (asym. synthesis of duloxetine hydrochloride and its carbon-14
        labeled isotopomers)
     164071-52-7P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
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L46 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         2005:325360 HCAPLUS Full-text
DOCUMENT NUMBER:
                         142:392277
                         In situ preparation of chiral compounds
TITLE:
                         derived from oxazaborolidine-borane complexes
                         and their use as catalysts in asymmetric
                         reductions of ketones and ether oximes
                         Burgos, Alain; Bertrand, Blandine; Frein,
INVENTOR(S):
                         Stephane; Pluvie, Jean Francois; Roussiasse,
                         Sonia
PATENT ASSIGNEE(S):
                         PPG-Sipsy, Fr.
                         Fr. Demande, 30 pp.
SOURCE:
                         CODEN: FRXXBL
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         French
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                DATE
                                             APPLICATION NO.
                                                                    DATE
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OTHER SOURCE(S): MARPAT 142:392277
ED Entered STN: 15 Apr 2005

The invention is related to the in situ preparation of chiral compds. derived from oxazaborolidine-borane complexes by reacting a metal borohydride with a Lewis base, and an ester of an inorg. acid, followed by addition of an optically active amino-alc. and to their use in the preparation of chiral alcs. and ketones by asym. reduction of prochiral ketones and ether oximes. The method eliminates the use of I2 in the preparation of the oxazaborolidine-borane complex. Thus, NaBH4 in THF was mixed with PhNEt2, the mixture cooled to 5°, Me2SO4 added and the mixture stirred at 20° for 1 h, and finally mixed with (R)-diphenylprolinol at 20° for 1 h. A solution of 3-chloro-1-(2-thienyl)propanone in THF was added to the above preheated mixture over a period of 1.5 h, followed by hydrolysis for 1 h at 20° to give the corresponding alc. in high chemical purity.

IT 260354-12-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(alc. product; in situ preparation of chiral compds. derived from oxazaborolidine-borane complexes and their use as catalysts in asym. redns. of ketones and ether oximes)

RN 260354-12-9 HCAPLUS

CN 2-Thiophenemethanol, α -(2-chloroethyl) - (CA INDEX NAME)

IT 40570-64-7, 3-Chloro-1-(2-thienyl)propanone

RL: RCT (Reactant); RACT (Reactant or reagent)
(ketone starting material; in situ preparation of chiral compds.
derived from oxazaborolidine-borane complexes and their use as
catalysts in asym. redns. of ketones and ether oximes)

RN 40570-64-7 HCAPLUS

CN 1-Propanone, 3-chloro-1-(2-thienyl)- (CA INDEX NAME)

IC ICM C07F005-04

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 29, 45

IT 260354-12-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(alc. product; in situ preparation of chiral compds. derived from oxazaborolidine-borane complexes and their use as catalysts in asym. redns. of ketones and ether oximes)

IT 40570-64-7, 3-Chloro-1-(2-thienyl)propanone

3

RL: RCT (Reactant); RACT (Reactant or reagent)

(ketone starting material; in situ preparation of chiral compds. derived from oxazaborolidine-borane complexes and their use as catalysts in asym. redns. of ketones and ether oximes)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:252497 HCAPLUS Full-text

DOCUMENT NUMBER: 140

140:287257

TITLE:

Process for the preparation of heterocyclic

hydroxypropylamines via amidation and reduction of the corresponding esters.

INVENTOR(S): PATENT ASSIGNEE(S): Houson, Ian Nicholas Avecia Limited, UK PCT Int. Appl., 31 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PA1	TENT NO.		KIND	DATE	APPLICATION NO.	DATE -
WO	200402470	98 ·	A2	20040325		2003 0912
WO		AG, AL,			BA, BB, BG, BR, BY, BZ, DK, DM, DZ, EC, EE, EG	
	FI, KG, MK, RU,	GB, GD, KP, KR, MN, MW, SC, SD,	GE, GH KZ, LC MX, MZ SE, SG	, GM, HR, , LK, LR, , NI, NO, , SK, SL,	HU, ID, IL, IN, IS, JP, LS, LT, LU, LV, MA, MD, NZ, OM, PG, PH, PL, PT, SY, TJ, TM, TN, TR, TT,	, KE, , MG, , RO,
	RW: GH, AZ, DE, PT,	GM, KE, BY, KG, DK, EE, RO, SE,	LS, MW KZ, MD ES, FI SI, SK	, MZ, SD, , RU, TJ, , FR, GB, , TR, BF,	ZA, ZM, ZW SL, SZ, TZ, UG, ZM, ZW, TM, AT, BE, BG, CH, CY, GR, HU, IE, IT, LU, MC, BJ, CF, CG, CI, CM, GA,	, CZ, , NL,
CA	2498756	GW, ML,		, SN, TD, 20040325	CA 2003-2498756	2003
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AU	200327184	14	AI	20040430	AU 2003-271844	2003 0912
EP	1542985		A2	20050622	< EP 2003-753682	. 2003 0912
					GB, GR, IT, LI, LU, NL, RO, MK, CY, AL, TR, BG,	
CN		HU, SK			CN 2003-825120	
					<	2003 0912
JP	200651314	15	T	20060420	JP 2004-535693	2003 0912
NO	200500124	10	A	20050401	< NO 2005-1240	2005 0310
IN	2005DN009	982	A	20070119	< IN 2005-DN982	2005
US	200527294	10	A1	20051208	< US 2005-528092	0314
PRIORIT	Y APPLN. I	NFO.:			с—- GB 2002-21438	0316 A

2002 0916

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WO 2003-GB3982

2003 0912

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OTHER SOURCE(S):

CASREACT 140:287257; MARPAT 140:287257

Ι

ED Entered STN: 26 Mar 2004

GΙ

NR1R2

Title compds. [I; X = S, O, NR3; R3 = H, organic group; R = H, organic group; R1, R2 = H, (substituted) alkyl, aryl; G = substituent; n = 0-3], were prepared by reaction of ester [II; R4 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl; other variables as above] with NHR1R2 to give the corresponding amide, followed by reduction Thus, Et (S)-3-hydroxy-3-(2-thienyl)propanoate (preparation given) was stirred 1 h with MeNH2 in PhMe to give 36% (S)-N-Methyl-3-hydroxy-3-(2-thienyl)propanamide. The latter in THF was treated with LiAlH4 in THF to give 88% (S)-3-methylamino-1-(2-thienyl)propan-1-ol.

IT 116539-55-0P, (S)-3-Methylamino-1-(2-thienyl)propan-1-ol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation);
PREP (Preparation)

(preparation of heterocyclic hydroxypropylamines via amidation and reduction of the corresponding esters)

RN 116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (α S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 74-89-5, Methylamine, reactions

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of heterocyclic hydroxypropylamines via amidation and reduction of the corresponding esters)

RN 74-89-5 HCAPLUS

CN Methanamine (CA INDEX NAME)

нзс-ин2

IC ICM C07D333-20

ICS C07D333-22

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 16

reduction of the corresponding esters)
IT 74-89-5, Methylamine, reactions 88-15-3,

2-Acetylthiophene 105-58-8, Diethyl carbonate RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heterocyclic hydroxypropylamines via amidation and reduction of the corresponding esters)

L46 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:120843 HCAPLUS Full-text

ACCESSION NUMBER: DOCUMENT NUMBER:

2004:120043 HCAPLOS <u>F</u>

DOCOPIENT N

140:18131/

TITLE:

Preparation of enantiomerically pure

(S)-3-methylamino-1-(thien-2-yl)propan-1-ol

from racemic 3-hydroxy-3-(thien-2-

yl)propionitrile via kinetic resolution with an acylating agent and a lipase followed by treatment with methylamine and hydrogen in the

presence of a catalyst.

INVENTOR(S):

Stuermer, Rainer

PATENT ASSIGNEE(S):

BASF Aktiengesellschaft, Germany

SOURCE:

PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

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EP	1527	065			A1		2005	0504		EP 2	003-	7663	83			
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JP 2006507234		T	2006	0302	JP	2004-	52540	3		
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AT 346061		T	2006	1215	AT	2003-	76638	3		
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ES 2278203		Т3	2007	0801	ES	2003-	37663	83		2002
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US 2005245749		A 1	2005	1103	211	2005-	52288	R		
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OTHER SOURCE(S):

CASREACT 140:181317

ED Entered STN: 13 Feb 2004

AB A process for the preparation of enantiomerically pure (S)-3-methylamino-1-(thien-2-yl)propan-1-ol (I) comprises treatment of of a mixture of (R)- and (S)-3-hydroxy-3-thien-2-ylpropionitrile with an acylating agent in the presence of a hydrolase to give a mixture of unacylated (S)-3-hydroxy-3-thien-2-ylpropionitrile and acylated (R)-nitrile and treatment of the former with hydrogen and methylamine in the presence of a catalyst. Thus, 3-hydroxy-3-thien-2-ylpropionitrile (preparation given) was shaken with lipase from Pseudomonas DSM 8246 and vinyl hexanoate in Me tert-Bu ether for 6 h at room temperature to give after flash chromatog. 48% (S)-3-hydroxy-3-thien-2-ylpropionitrile in 99.4% enantiomeric excess. The latter was autoclaved with MeNH2 in MeOH over Raney Ni under 50 bar H2 at 65° for 24 h to give 79% I.

IT 116539-55-0P, (S)-3-Methylamino-1-(thien-2-yl)propan-1-ol
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation);
 PREP (Preparation)

(preparation of enantiomerically pure methylaminothienylpropanol from racemic hydroxythienylpropionitrile via kinetic resolution followed by catalytic reductive amination with methylamine)

RN 116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (α S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 74-89-5, Methylamine, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of enantiomerically pure methylaminothienylpropanol
from racemic hydroxythienylpropionitrile via kinetic resolution

followed by catalytic reductive amination with methylamine)

RN 74-89-5 HCAPLUS

CN Methanamine (CA INDEX NAME)

H3C-NH2

IC ICM C07D333-20

ICS C07B057-00

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 7

IT 116539-55-0P, (S)-3-Methylamino-1-(thien-2-yl)propan-1-ol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation);
PREP (Preparation)

(preparation of enantiomerically pure methylaminothienylpropanol from racemic hydroxythienylpropionitrile via kinetic resolution followed by catalytic reductive amination with methylamine)

TT 74-89-5, Methylamine, reactions 75-05-8, Acetonitrile, reactions 98-03-3, Thiophene-2-carboxaldehyde 105-38-4, Vinyl propionate 108-30-5, Succinic anhydride, reactions 3050-69-9, Vinyl hexanoate

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of enantiomerically pure methylaminothienylpropanol
from racemic hydroxythienylpropionitrile via kinetic resolution
followed by catalytic reductive amination with methylamine)

L46 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:286808 HCAPLUS Full-text

DOCUMENT NUMBER:

140:302436

TITLE:

Process for the production of

3-heteroaryl-3-hydroxy-propionic acid derivatives by enantioselective microbial

reduction

INVENTOR(S):

Berendes, Frank; Eckert, Markus; Brinkmann, Nils; Dreisbach, Claus; Meissner, Ruth; Koch,

Rainhard

PATENT ASSIGNEE(S):

Bayer Chemicals A.-G., Germany

SOURCE:

Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW

DOCUMENT TYPE:

Patent German

LANGUAGE:

derman

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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EP	1405	917			A3		2005	0112							
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		EE,	HU,	SK				•	•						
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JP 2004113245	Α	20040415	JP	2003-335690		
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•				<		0926
CN 1497048	A	20040519	CN	2003-160307		
						2003
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US 2006264641	A1	20061123	US	2006-436347		
						2006
						0518
PRIORITY APPLN. INFO.:			D.F.	< 2002-10244811	_	
PRIORITY APPLN. INFO.:			שט	2002-10244611	A	2002
						0926
				<		0,52,0
			บร	2003-669424	А3	
						2003
						0924
·				<		

OTHER SOURCE(S):

MARPAT 140:302436

ED Entered STN: 08 Apr 2004

AB A process for the production of 3-heteroaryl-3-hydroxy-propionic acid derivs. by enantioselective microbial reduction is provided. Thus, Saccharomyces cerevisiae was used to reduce methyl-3-oxo-3-(2- thiophenyl)propanoic acid to methyl-(3S)-hydroxy-3-(2- thiophenyl)propanoic acid with a yield of 75% and an enantiomeric excess >97%. The reaction product then served as a reactant in the chemical synthesis of (1S)-3-(methylamino)-1-(2-thienyl)-1- propanol.

IT 116539-55-0P

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(process for production of 3-heteroaryl-3-hydroxy-propionic acid derivs. by enantioselective microbial reduction)

RN 116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (αS) -(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 74-89-5, Methylamine, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(process for production of 3-heteroary1-3-hydroxy-propionic acid derivs. by enantioselective microbial reduction)

RN 74-89-5 HCAPLUS

CN Methanamine (CA INDEX NAME)

H3C-NH2

IC ICM C12P017-00 ICS C12P041-00; C07D213-55; C07D213-56; C07D333-24; C07D333-60;

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C07D307-54
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16-5 (Fermentation and Bioindustrial Chemistry)
CC
IT
     116539-55-0P
                    116539-57-2P, (1R)-3-(Methylamino)-1-(2-
     thienyl)-1-propanol
                             116539-59-4P
                                             116539-60-7P
                                                             121776-72-5P,
     (S) -3-Hydroxy-3-(2-furanyl) propanenitrile
                                                   129101-56-0P,
     (S)-Ethyl 3-hydroxy-3-(2-furanyl)propanoate 132335-44-5P,
     (1S)-3-(Dimethylamino)-1-(2-thienyl)-1-propanol
                                                           132335-49-0P,
     (1R) -3- (Dimethylamino) -1- (2-thienyl) -1-propanol
                                                           238093-29-3P,
                                                       477722-37-5P,
     (S)-Methyl 3-hydroxy-3-(2-thienyl)propanoate
     (S)-Methyl 3-hydroxy-3-(2-furanyl)propanoate
                                                        503188-05-4P,
     (S)-3-Hydroxy-3-(3-pyridinyl)propanenitrile
                                                       591727-36-5P.
     (S)-3-Hydroxy-3-(2-thienyl)propanenitrile
                                                    603959-56-4P,
     (S)-3-Hydroxy-3-(2-thienyl) propanoic acid N-methylamide
     666740-61-0P, (S)-Methyl 3-hydroxy-3-(3-furanyl)propanoate
     666740-62-1P, (S)-Methyl 3-hydroxy-3-(3-thienyl)propanoate
     676563-08-9P, (S)-Ethyl 3-hydroxy-3-(3-thienyl)propanoate
     676563-09-0P, (S)-Ethyl 3-hydroxy-3-(3-furanyl)propanoate
     676563-10-3P, (S)-Methyl 3-hydroxy-3-(2-pyridinyl)propanoate
     676563-11-4P, (S)-Ethyl 3-hydroxy-3-(2-pyridinyl)propanoate 676563-12-5P, (S)-Methyl 3-hydroxy-3-(3-pyridinyl)propanoate
     676563-13-6P, (S)-Ethyl 3-hydroxy-3-(3-pyridinyl)propanoate 676563-14-7P, (S)-Methyl 3-hydroxy-3-(4-pyridinyl)propanoate
     676563-15-8P, (S)-Ethyl 3-hydroxy-3-(4-pyridinyl)propanoate
     676563-16-9P, (S)-3-Hydroxy-3-(3-thienyl)propanenitrile
     676563-17-0P, (S)-3-Hydroxy-3-(3-furanyl)propanenitrile
     676563-18-1P, (S)-3-Hydroxy-3-(2-pyridinyl)propanenitrile
     676563-19-2P, (S)-3-Hydroxy-3-(4-pyridinyl)propanenitrile
                     676596-57-9P
     676596-56-8P
     RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP
     (Preparation)
         (process for production of 3-heteroary1-3-hydroxy-propionic acid
        derivs. by enantioselective microbial reduction)
IT 74-89-5, Methylamine, reactions
                                         88-15-3,
     2-ACetylthiophene
                          616-38-6, Dimethyl carbonate
                                                            7784-21-6,
     Aluminum hydride
                         13283-31-3, Boron hydride, reactions
     16853-85-3, Lithium aluminum hydride
     RL: RCT (Reactant); RACT (Reactant or reagent)
         (process for production of 3-heteroaryl-3-hydroxy-propionic acid
        derivs. by enantioselective microbial reduction)
```

L46 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN 2004:605494 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

141:140312

TITLE:

3-Methylamino-1-(2-thienyl)-1-propanone preparation and its use as a pharmaceutical

intermediate

PATENT ASSIGNEE(S): SOURCE:

BASF Ag, Germany Ger. Offen., 4 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10302595	A1	20040729	DE 2003-10302595	2003 0122
CA 2513542	A1	20040805	< CA 2004-2513542	2004
WO 2004065376	A1	20040805	< WO 2004-EP237	2004

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10/587,440
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         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
              ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
              KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
              MG, MK, MN, MW, MX, MZ
     EP 1587802
                                   20051026
                            A1
                                                EP 2004-702333
                                                                          2004
                                                                          0115
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     EP 1587802
                                   20071114
                            В1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
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              EE, HU, SK
     CN 1742003
                                   20060301
                                                CN 2004-80002686
                                                                          2004
                                                                          0115
     JP 2006515878
                                   20060608
                                                JP 2006-500570
                                                                          2004
                                                                          0115
     AT 378326
                            т
                                   20071115
                                                AT 2004-702333
                                                                          2004
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     US 2006128791
                            A1
                                   20060615
                                                US 2005-542003
                                                                          2005
                                                                          0712
                                                   <--
                                   20070821
                            B2
     US 7259264
     IN 2005CN01988
                                   20070831
                                                IN 2005-CN1988
                            Α
                                                                         2005
                                                                          0822
PRIORITY APPLN. INFO .:
                                                DE 2003-10302595
                                                                         2003
                                                                         0122
                                                   <--
                                                WO 2004-EP237
                                                                         2004
                                                                          0115
     Entered STN: 29 Jul 2004
      3-Methylamino-1-(2-thienyl)-1-propanone and its acid addition salts (e.g., the
      hydrochloride), which are useful as an intermediate in the production of the
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ED

AΒ pharmaceutical (+)-(S)-N-methyl-3-(1- naphthyloxy)-3-(2-thienyl)propylamine oxalate (i.e., Duloxetine oxalate), are prepared

40570-64-7, 3-Chloro-1-(2-thienyl)-1-propanone

RL: RCT (Reactant); RACT (Reactant or reagent)

(in the preparation of 3-methylamino-1-(2-thienyl)-1-propanone)

40570-64-7 HCAPLUS RN

1-Propanone, 3-chloro-1-(2-thienyl)- (CA INDEX NAME) CN

IT 74-89-5, Methylamine, reactions RL: RCT (Reactant); RGT (Reagent); RACT (Reactant or reagent) (in the preparation of 3-methylamino-1-(2-thienyl)-1-propanone) RN 74-89-5 HCAPLUS

CN Methanamine (CA INDEX NAME)

H3C-NH2

116539-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (α S)-

(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ICM C07D333-20 IC

ICS C07D333-10; C12P017-00

27-8 (Heterocyclic Compounds (One Hetero Atom))

5424-47-5 40570-64-7, 3-Chloro-1-(2-thienyl)-1-propanone

494221-37-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(in the preparation of 3-methylamino-1-(2-thienyl)-1-propanone)

IT74-89-5, Methylamine, reactions

RL: RCT (Reactant); RGT (Reagent); RACT (Reactant or reagent)

(in the preparation of 3-methylamino-1-(2-thienyl)-1-propanone)

IT 116539-55-0P 116539-56-1P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

L46 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:198151 HCAPLUS Full-text

DOCUMENT NUMBER:

140:253344

Preparation of (3R) - or (3S)-3-oxy-3-(2-TITLE:

> thiophen) propylamines and related compounds via an enantioselective Reformatskii reaction

INVENTOR(S): Sorger, Klas; Stratmann, Oliver; Petersen,

Hermann; Stohrer, Juergen

PATENT ASSIGNEE(S): Consortium fuer Elektrochemische Industrie

G.m.b.H., Germany

CODEN: GWXXBX

SOURCE:

Ger. Offen., 29 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10237272	A1	20040311	DE 2002-10237272	
				2002 0814
			<	0014
PRIORITY APPLN. INFO	.:		DE 2002-10237272	
				2002

0814

OTHER SOURCE(S): MARPAT 140:253344

ED Entered STN: 11 Mar 2004

GΙ

AB Title compds. I and II [R1, R2 = H, halo-alkyl, CN-alkyl; R3, R4, R5, R6, R7 = H, halo, halo-alkyl; W = H, alkyl, acyl, etc.] were prepared via a sparteine mediated enantioselective Reformatskii reaction. For example, LAH reaction of amide II (X = O), e.g., prepared from 2-thiophenecarboxaldehyde in 2-steps, afforded propylamine in 90% yield and 89% ee (HPLC).

IT 74-89-5, Methylamine, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (3S)-3-oxy-3-(2-thiophen)propylamines and related compds. via an enantioselective Reformatskii reaction)

RN 74-89-5 HCAPLUS

CN Methanamine (CA INDEX NAME)

H3C-NH2

IT 116539-55-0P, N-Methyl-(S)-(-)-3-Hydroxy-3-(2-

thiophen) propylamine

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of (3S)-3-oxy-3-(2-thiophen)propylamines and related compds. via an enantioselective Reformatskii reaction)

RN 116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (α S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IC ICM C07D333-04 ICS C07D333-06; A61K031-381

CC

CN

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25-17 (Benzene, Its Derivatives, and Condensed Benzenoid
     Compounds)
     Section cross-reference(s): 21
     74-88-4, Methyliodide, reactions 74-89-5, Methylamine,
     reactions 75-36-5, Acetyl chloride 75-77-4,
     Trimethylchlorosilane, reactions 96-32-2, Bromoacetic acid
     methyl ester 98-03-3, 2-Thiophenecarboxaldehyde 105-36-2,
     Bromoacetic acid ethyl ester
                                   590-17-0
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of (3S)-3-oxy-3-(2-thiophen) propylamines and related
        compds. via an enantioselective Reformatskii reaction)
ΙT
     116539-55-0P, N-Methyl-(S)-(-)-3-Hydroxy-3-(2-
                          591727-36-5P, (S)-(-)-3-Hydroxy-3-(2-
     thiophen) propylamine
                                603959-54-2P, (S)-(-)-3-Hydroxy-3-(2-
     thiophen) propane nitrile
     thiophen) propionic acid ethyl ester 666740-52-9P,
     (S)-(-)-3-Methoxy-3-(2-thiophen)propionic acid methyl ester
     666740-53-0P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of (3S)-3-oxy-3-(2-thiophen) propylamines and related
        compds. via an enantioselective Reformatskii reaction)
L46 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
                         2003:525413 HCAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         139:85232
TITLE:
                         Preparation of optically active
                         thienylpropanols
INVENTOR(S):
                         Ogura, Kuniyoshi; Mori, Hiroyuki; Inoue,
                         Yoshiki
PATENT ASSIGNEE(S):
                        Mitsubishi Rayon Co., Ltd., Japan
                         Jpn. Kokai Tokkyo Koho, 6 pp.
SOURCE:
                         CODEN: JKXXAF
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                        KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
                                            ------
    JP 2003192681
                                20030709
                         Α
                                            JP 2001-397944
                                                                   2001
                                                                   1227
PRIORITY APPLN. INFO .:
                                            JP 2001-397944
                                                                   2001
                                                                   1227
                                               <--
     Entered STN: 10 Jul 2003
ED
     (S)-3-N-methylamino-1-(2-thienyl)-1-propanol is prepared by reaction of thiophene with
AB
     3-chloropropionyl chloride in the presence of Friedel-Crafts catalysts, hydrogenation
     of 1-(2-thienyl)-3- chloropropan-1-one (I) in the presence of transition metal-
     containing asym. hydrogenation catalysts, bases, and optically active N compds., and
     reaction of (S)-3-chloro-1-(2-thienyl)-1-propanol (II) with MeNH2. I was hydrogenated
     in 2-propanol in the presence of KOH, (R,R)-diphenylethylenediamine, and RuCl2[(R)-
     BINAP] (DMF)n at 28° for 6 h to give ≥99% II with 97% ee.
     40570-64-7P 164071-56-1P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of optically active thienylpropanols via asym.
        hydrogenation of thienylchloropropanone)
     40570-64-7 HCAPLUS
RN
```

1-Propanone, 3-chloro-1-(2-thienyl)- (CA INDEX NAME)

RN 164071-56-1 HCAPLUS

CN 2-Thiophenemethanol, α -(2-chloroethyl)-, (αS) - (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 116539-55-0P

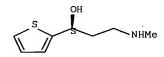
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active thienylpropanols via asym. hydrogenation of thienylchloropropanone)

RN 116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (α S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM C07D333-02

ICS C07B061-00; C07M007-00

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

IT 40570-64-7P 164071-56-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of optically active thienylpropanols via asym. hydrogenation of thienylchloropropanone)

IT 116539-55-0P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active thienylpropanols via asym. hydrogenation of thienylchloropropanone)

L46 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2003:752682 HCAPLUS Full-text

DOCUMENT NUMBER:

139:261162

TITLE:

Preparation of arylaminopropanols via

ruthenium mediated enantioselective reduction

of β -hydroxy esters

INVENTOR(S):

Eckert, Markus; Dreisbach, Claus; Bosch,

Boris; Stolle, Andreas

PATENT ASSIGNEE(S):

Bayer Aktiengesellschaft, Germany

SOURCE:

Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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										<			03	307
	R:	MC,		IE,						R, IT,	LI, LU AL, TR			
Di	E 1021	•	,		A1	2	2003	1002	DE	2002-	1021230	1		
												•		02 320
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U:	2003	2251	53		A1	2	2003	1204	บร	2003-	391348			
										•				03 18
										<				
	7169				B2		20070							
CI	J 1445	224			A	2	2003	1001	CN		107316			03 20
_					_					<	70067			
J	2003	3131	84		A	2	2003	1106	JÞ	2003-	78367			03
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PRIORI'	Y APP	LN.	INFO	.:					DE	2002-	1021230	1 2		02

OTHER SOURCE(S): CASREACT 139:261162; MARPAT 139:261162

ED Entered STN: 25 Sep 2003

GI

Title compds. I [Ar = (un) substituted aryl; R1, R2 = H, alkyl, aryl, etc.] were AB prepared For example, LAH reduction of amide II, e.g., prepared from 2-acetylthiophene in 3-steps, afforded aminopropanol III in 84% yield. Compds. I are claimed useful intermediates for the production of pharmaceuticals.

74-89-5, Methylamine, reactions IT

> RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of arylaminopropanols via ruthenium mediated enantioselective reduction of β -hydroxy esters)

RN 74-89-5 HCAPLUS

Methanamine (CA INDEX NAME) CN

H3C-NH2

IT 116539-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (product; preparation of arylaminopropanols via ruthenium mediated enantioselective reduction of β -hydroxy esters)

RN 116539-55-0 HCAPLUS

CN 2-Thiophenemethanol, α -[2-(methylamino)ethyl]-, (α S)-(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IC ICM C07C213-00

CC 27-8 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

IT **74-89-5**, Methylamine, reactions 88-15-3,

2-Acetylthiophene 94-02-0, Ethyl-3-oxo-3-(phenyl)propanoate

614-27-7, Methyl-3-oxo-3-(phenyl)propanoate 616-38-6,

Dimethylcarbonate 13669-10-8 22027-51-6 27835-00-3

54441-65-5 54441-66-6 122334-39-8 612841-65-3 612841-67-5

612841-86-8 612841-92-6, 2-Ethylhexyl-3-oxo-3-(4-

tolyl)propanoate

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arylaminopropanols via ruthenium mediated

enantioselective reduction of β -hydroxy esters)

IT 116539-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(product; preparation of arylaminopropanols via ruthenium mediated

enantioselective reduction of β -hydroxy esters)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE

IN THE RE FORMAT

FULL SEARCH HISTORY

=> d his nofile

(FILE 'HOME' ENTERED AT 15:05:43 ON 03 JAN 2008)

FILE 'HCAPLUS' ENTERED AT 15:05:55 ON 03 JAN 2008

E US20070128704/PN L1 1 SEA ABB=ON PLU=ON

1 SEA ABB=ON PLU=ON US20070128704/PN D ALL

SEL RN

D SCAN

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			16940-66-2/1	BI OR 23	229-69-8/BI OR 260354-12-9/BI OR
			40570-64-7/	BI OR 74	-89-5/BI OR 861995-99-5/BI OR
			9001-62-1/B	I OR 96-	49-1/BI)
			D SCAN		•
L3		6	SEA ABB=ON	PLU=ON	L2 AND 1/S
			D SCAN		
L4		7	SEA ABB=ON	PLU=ON	L2 NOT L3
			D SCAN		•
			D L3 1-6		
L5		1	SEA ABB=ON	PLU=ON	40570-64-7/RN
			D SCAN		.,
L6		1	SEA ABB=ON	PLU=ON	116539-55-0/RN
			D SCAN		
L7		1	SEA ABB=ON	PLU=ON	260354-12-9/RN

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FILE 'REGISTRY' ENTERED AT 15:17:42 ON 03 JAN 2008

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L10	1	SEA ABB=ON D	PLU=ON	L2 AND C4 H4 O3/MF
L11	1	SEA ABB=ON D SCAN L4	PLU=ON	108-30-5/RN
L12	1	SEA ABB=ON D RN	PLU=ON	METHANAMINE/CN
L13	1	SEA ABB=ON D CN D RN	PLU=ON	L2 AND LIPASE
L14	1	SEA ABB=ON	PLU=ON	9001-62-1/RN

FILE 'HCAPLUS' ENTERED AT 15:30:40 ON 03 JAN 2008 D SCAN L1

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		D SCAN	
L16		4 SEA ABB=ON PLU=ON L5/RCT(L)L7/PRO	

D SCAN

L17 2 SEA ABB=ON PLU=ON L7/RCT(L)L8/PRO D SCAN

L18 . 4 SEA ABB=ON PLU=ON L8/RCT(L)L6/PRO D SCAN

119 7 SEA ABB=ON PLU=ON (L15 OR L16 OR L17 OR L18) SAV L19 CHA440CRCT/A

FILE 'STNGUIDE' ENTERED AT 15:44:09 ON 03 JAN 2008

FILE 'HCAPLUS' ENTERED AT 15:46:30 ON 03 JAN 2008

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L20
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                D SCAN L1
L21
                QUE ABB=ON PLU=ON CHIRAL? OR ENANTIOMER? OR RESOLUTIO
                N?
L22
             35 SEA ABB=ON PLU=ON L20 AND L21
                QUE ABB=ON PLU=ON PY<2005 OR PRY<2005 OR AY<2005 OR
L23
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L26
             17 SEA ABB=ON PLU=ON L25 AND L21
L27
             16 SEA ABB=ON PLU=ON L26 AND L23
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.L28
L29
             46 SEA ABB=ON PLU=ON L6
              9 SEA ABB=ON PLU=ON L28 AND L29
L30
                D SCAN
              7 SEA ABB=ON
L31 -
                           PLU=ON L7
              5 SEA ABB=ON PLU=ON
                                   L28 AND L31
L32
              9 SEA ABB=ON PLU=ON L8
L33
              1 SEA ABB=ON PLU=ON L9
L34
L35
          11297 SEA ABB=ON PLU=ON L11
          34982 SEA ABB=ON PLU=ON L14
L36
L37
              2 SEA ABB=ON PLU=ON L31 AND ((L33 OR L34 OR L35 OR
                L36))
                D SCAN
              5 SEA ABB=ON PLU=ON ((L33 OR L34)) AND L29
L38
          19367 SEA ABB=ON PLU=ON L12
L39
                           PLU=ON L38 AND L39
L40
              1 SEA ABB=ON
              8 SEA ABB=ON
                            PLU=ON
                                   (L33 OR L34 OR L29) AND L39
L41
                D SCAN
L42
             10 SEA ABB=ON
                           PLU=ON L30 OR L32 OR L37 OR L38 OR L40
             15 SEA ABB=ON PLU=ON L42 OR L41
L43
             15 SEA ABB=ON PLU=ON L43 AND L23
L44
                D SCAN
                SAV TEMP L44 CHA440HCP/A
     FILE 'STNGUIDE' ENTERED AT 16:02:51 ON 03 JAN 2008
                D QUE L27
                D QUE L24
    FILE 'CASREACT, HCAPLUS' ENTERED AT 16:04:00 ON 03 JAN 2008
L45
             30 DUP REM L27 L24 (17 DUPLICATES REMOVED)
                     ANSWERS '1-16' FROM FILE CASREACT
                     ANSWERS '17-30' FROM FILE HCAPLUS
                D L45 1-30 IBIB ED
                D QUE L19
                D QUE STAT L44
L46
             15 DUP REM L19 L44 (7 DUPLICATES REMOVED)
                     ANSWERS '1-7' FROM FILE CASREACT
                     ANSWERS '8-15' FROM FILE HCAPLUS
                D L46 1-7 IBIB AB FHIT IND
```

D L46 8-15 IBIB ED ABS HITSTR HITIND